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FILE LAST UPDATED: 1 Jul 2004  (20040701/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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=> d stat que
L14       21774 SEA FILE=REGISTRY ABB=ON  PLU=ON  SULFUR/BI
L15      429476 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L14 OR SULFUR OR SULPHUR
L16      505788 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ?SULFUR? OR ?SULPHUR?
L17        2334 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L15 OR L16)(L)?COLLOID?
L18        4618 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L15 OR L16)(L)(?MEDICIN? OR
                ?PHARM? OR ?THERAP? OR ?DRUG?)
L19         112 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND L18
L21          14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19 AND (SKIN OR ?DERM? OR
                COSMET?)


=> d ibib abs hitrn l21 1-14

L21  ANSWER 1 OF 14  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2004:116870  HCAPLUS
DOCUMENT NUMBER:          140:258913
TITLE:                    Vehiculization of anthralin into n-alkyl ascorbic acid
                          derivative coagels
AUTHOR(S):                Palma, Santiago; Manzo, Ruben; Lo Nostro, Pierandrea;
                          Fratoni, Laura; Allemandi, Daniel
CORPORATE SOURCE:         Departamento de Farmacia, Fac. de Ciencias Quimicas,
                          Universidad Nacional de Cordoba, Cordoba, 5000,
                          Argent.
SOURCE:                   Acta Farmaceutica Bonaerense (2003), 22(4), 305-312
                          CODEN: AFBODJ; ISSN: 0326-2383
PUBLISHER:                Colegio de Farmaceuticos de la Provincia de Buenos
                          Aires
DOCUMENT TYPE:            Journal
LANGUAGE:                 Spanish
AB   Anthralin formulated in semisolid pharmaceutical dosage forms is
     used in treatment of psoriasis.  The drug physicochem.
     properties and side effects make it difficult to design suitables
     formulations.  Anthralin has very low water solubility, is unstable, and its
     efficacy is hampered by irritation and staining of the perilesional
     skin.  The potential vehiculization (formulation) of anthralin
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into supramol. aggregates with n-alkyl ascorbic acid ester derivs. (ASCn; n-alkyls = C8, C10, C11, C12, C14, C16) was evaluated. The derivs. were prepared from corresponding C8-C16 fatty acids and ascorbic acid in **sulfuric** acid at 40°C. These derivs. can form supramol. aggregates above critical micellar temperature (TMC) and liqs. crystal structures (coagels) as the temperature decreases below TMC. These systems have a good potential for **drug** solubilization and the ascorbyl moiety can contribute to the stabilization of the **drug** in the aggregates. Anthralin solubilization in ASCn **colloidal** dispersions and coagels, the effects of co-solvents (polyethylene glycol) on solubilization, **drug** stability in the coagels, and formulation rheol. properties were studied. The anthralin apparent solubility was increased. The incorporation of polyethylene glycol augmented several times the solubilization capacity of ASC16 coagels. Anthralin stability was increased in these systems compared to ethanolic solns.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:434660 HCAPLUS
DOCUMENT NUMBER: 136:33981
TITLE: In vivo evaluation of three different 99mTc-labelled radiopharmaceuticals for sentinel lymph node identification
AUTHOR(S): Edreira, M. M.; Colombo, L. L.; Perez, J. H.; Sajaroff, E. O.; De Castiglia, S. G.
CORPORATE SOURCE: Radiopharmaceutical Division, National Atomic Energy Commission, Ezeiza, 1802, Argent.
SOURCE: Nuclear Medicine Communications (2001), 22(5), 499-504
CODEN: NMCODC; ISSN: 0143-3636
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This work was designed to compare sentinel lymph node (SLN) uptake of 99mTc-labeled human serum albumin **colloid** (99mTc-HSAC), 99mTc-labeled antimony **sulfur colloid** (99mTc-SC) and a 99mTc-labeled dextran 70 solution (99mTc-Dx) and their selectivity in the identification of this node in the right rear footpad (RRF) of normal mice and tumor bearing mice. **Radiopharmaceutical** uptake in the SLN (popliteal lymph node) and the lumbar lymph node (LLN), the second lymphatic node station from RRF, were measured at different time points post-**intradermal** or intratumoral injection into the RRF of NIH normal mice and of Balb/c mice harboring the murine mammary tumor M2. 99mTc-HSAC uptake in the SLN was significantly higher than LLN uptake. The 99mTc-SC demonstrated high uptake in SLN, but accumulation in LLN was also high. 99mTc-Dx showed low uptakes in both SLN and LLN. The **intradermal** injection resulted in a more effective **radiopharmaceutical** accumulation in SLN than did the intratumoral inoculation. Data also show that increments in tumor volume reduced **radiopharmaceutical** uptake in the SLN. Our results show that 99mTc-HSAC exhibits the highest uptake in the SLN combined with the smallest amts. of **radiopharmaceutical** passing through to the LLN. Therefore, 99mTc-HSAC appears to be the best **radiopharmaceutical** for sentinel node detection.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:881004 HCAPLUS
DOCUMENT NUMBER: 134:32959
TITLE: Radiopharmaceuticals and methods for imaging
INVENTOR(S): Eshima, Dennis; Thornback, John; Eshima, Lorie; Simpson, Scott D.

PATENT ASSIGNEE(S): Resolution Pharmaceuticals, Inc., Can.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000074727	A2	20001214	WO 2000-CA661	20000605

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: CA 1999-2273609 A 19990604

AB This invention discloses the concept of incorporating a radioactive agent and various dyes to enhance lymphatic drainage, sentinel and lymph nodes. The use of a gamma emitting radionuclide such as Tc-99m allows the localization of the lymph node(s) that allows the surgeon to initially plan the surgical procedure. On the day of the study the radiopharmaceutical may need to be injected imaged and with the **skin** marked externally to assist the surgeon in locating the node during surgery. In order to facilitate the surgical probe a gamma detecting surgical probe can assist in providing the relative location of the node. This procedure has been found to be useful, however it has often been difficult utilizing this procedure to find all of the nodes. This invention would incorporate the use of a radioactive probe with a dye, the addition of the dye into the particles would allow the physician to more rapidly identify lymphatic channels, sentinel and other node(s) and allow them to be excised which would dramatically reduce the surgical time for the patient.

IT **7704-34-9**, **Sulfur**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**colloidal**; **radiopharmaceuticals** and methods for imaging lymphatic channels, sentinel and other node(s))

L21 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:952384 HCAPLUS
DOCUMENT NUMBER: 124:80904
TITLE: Filtered technetium-99m-**sulfur** **colloid** evaluated for lymphoscintigraphy
AUTHOR(S): Hung, Joseph C.; Wiseman, Gregory A.; Wahner, Heinz W.; Mullan, Brian P.; Taggart, Teresa R.; Dunn, William L.
CORPORATE SOURCE: Department Diagnostic Radiology, Mayo Clinic, Rochester, MN, 55905, USA
SOURCE: Journal of Nuclear Medicine (1995), 36(10), 1895-901
CODEN: JNMEAQ; ISSN: 0161-5505
PUBLISHER: Society of Nuclear Medicine
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Several 99mTc-labeled **radiopharmaceuticals** have been developed for lymphoscintigraphy of the extremities. In the United States, however, these agents are not widely used clin. This study evaluates the use of smaller particle sizes (<0.1 μm) of 99mTc- **sulfur** **colloid** (99mTc-SC) for lymphoscintigraphy. The 99mTc-SC was prepared by kit, and the final preparation was filtered through a sterile

0.1-μm filter. The radiochem. purity (RCP) of the filtered 99mTc-SC was determined before administration. Nineteen patients with suspected lymphedema were injected with 18.5 MBq (500 μCi) filtered 99mTc-SC **intradermally** in each foot, and whole-body images were obtained immediately and 1, 3, 6 and 24 h later. Local views over the inguinal or axillary lymph nodes were also obtained every 5 min for the first hour. The average RCP value was 93.4% (n = 19), and the RCP difference pre- and postfiltration of the 99mTc-SC preparation was -1.7% (n = 40). Evaluation of the particle size with the polycarbonate filter showed that 89.9% (n = 28) of particles were less than 50 nm, and the particle size was further determined by electron microscopy to be 38.0 nm (n = 202). The mean particle sizes of two peaks measured by laser light scattering techniques were 7.5 and 53.9 nm (major peak). Clin. studies with filtered 99mTc-SC demonstrated similar lymphoscintigrams compared with those obtained with 99mTc antimony sulfide **colloid** (99mTc-ATC). Filtered 99mTc-SC showed a faster transport rate to the inguinal lymph nodes and lower radiation dosimetry for liver, spleen and whole body compared with 99mTc-ATC. Filtered 99mTc-SC can be easily prepared and is readily available for routine clin. use in lymphoscintigraphic studies.

IT **7704-34-9D, Sulfur,** technetium-99 complexes
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(technetium-99m-**sulfur** filtered **colloid** evaluated for lymphoscintigraphy)

L21 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:647158 HCAPLUS
DOCUMENT NUMBER: 93:247158
TITLE: Survey of technetium-99m contamination of laboratory personnel: hand decontamination
AUTHOR(S): Nishiyama, Hiroshi; Van Tuinen, Richard J.; Lukes, Steven J.; Feller, Paul A.
CORPORATE SOURCE: Nucl. Med. Lab., Cincinnati Gen. Hosp., Cincinnati, OH, 45267, USA
SOURCE: Radiology (Oak Brook, IL, United States) (1980), 137(2), 549-51
CODEN: RADLAX; ISSN: 0033-8419
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Decontamination after exposure to various 99mTc radiopharmaceuticals was tested with serial hand washings both with and without soap. All radiopharmaceuticals were removed more effectively with soap, and the degree of decontamination related closely to the number of washings. The affinity of the radiopharmaceuticals for the **skin** varied, depending upon the labeled material, and only macroaggregated albumin was effectively removed to <1% of its original activity with soap. Activity transfer to the opposite hand could be substantial with macroaggregated albumin and S colloid if soap is not used.

IT **7704-34-9,** properties
RL: PRP (Properties)
(**colloid,** removal of metastable technetium-99-labeled **radiopharmaceutical,** with soaps)

L21 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1962:46444 HCAPLUS
DOCUMENT NUMBER: 56:46444
ORIGINAL REFERENCE NO.: 56:8853e-g
TITLE: Use of **sulfur** in **pharmacy** and **cosmetics**
AUTHOR(S): DeKay, H. George
CORPORATE SOURCE: Purdue Univ., Lafayette, IN
SOURCE: American Perfumer and Essential Oil Review (1962), 77(No. 1), 27-30,32

CODEN: APEOAX; ISSN: 0096-0888
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The 3 main S **dermatological** prepns. are washed or S lotion, precipitated S, and sublimed S. S acts on the thiol group converting cysteine into cystine; it reacts with the **skin** when it is transformed into an absorbable form through the formation of H2S or H2S2. **Colloidal** S is the most efficient form of destroying fungi and animal parasites. Hydrophilic S is a useful remedy in the treatment of acne vulgaris, seborrheic **dermatitis**, and scabies. **Sulfurated** pot ash is effective in lotions against acne. Sulfonated oils may be used as soap substitutes in cleansing the **skin** of persons suffering from eczema or allergic to soap. Mercaptans are useful as depilatories and cold waving agents. Sulfated fatty alcohols are excellent in the preparation of powdered shampoos. Formulas are given. 23 references.
IT **7704-34-9, Sulfur**
(in **cosmetics** and **pharmacy**)

L21 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1961:100835 HCAPLUS
DOCUMENT NUMBER: 55:100835
ORIGINAL REFERENCE NO.: 55:19000b-c
TITLE: The absorption by **skin** and the utilization and reelimination of **colloidal sulfur** and thiosulfate; studies in rabbits with S35
AUTHOR(S): Lotmar, Ruth
CORPORATE SOURCE: Rheumaklinik Univ., Zurich, Switz.
SOURCE: Zeitschrift fuer die Gesamte Experimentelle Medizin (1961), 134, 233-41
CODEN: ZGEMAZ; ISSN: 0372-8722
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 54, 703e. The absorption of Na2S35O4, Na2S352O3, and **colloidal** S35 by rabbit **skin** after topical application was studied. **Colloidal** S was in the form of Thiorubrol, a **balneotherapeutic** agent also containing 20% **trithioricinolsulfuric** acid. The absorption of S in the 3 forms was 1.0-3.7, 0.2-1.2, and 0.45-0.7%, resp., of the amount applied. Most of the absorbed S was rapidly excreted; the remainder was taken up mainly by **skin**, muscle, and bone. Distribution of S was similar in the 3 forms in which it was given. The amount of S retained was dependent upon the amount absorbed up to a certain value.
IT **7704-34-9, Sulfur**
(**colloidal, skin** absorption of)

L21 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1951:42753 HCAPLUS
DOCUMENT NUMBER: 45:42753
ORIGINAL REFERENCE NO.: 45:7311b-d
TITLE: Stabilized polythionates as medicinal **cosmetics**
INVENTOR(S): Neesby, Torben E.
PATENT ASSIGNEE(S): Norsk Sulfo A/S
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2551627		19510508	US	

AB Freshly prepared solns. of polythionic acids or alkali polythionates are stabilized against decomposition by the addition of a small amount of a compound capable of regulating the reduction-oxidation potential, specifically a ferric or cupric salt. Thus, a 2% aqueous solution of an alkali tetrathionate adjusted to a pH of 1.5 with HCl and stabilized with 1 g. tyrosine showed a decrease in tetrathionate ion concentration of 3 millimols./l. after 14 days at 50°, the corresponding decrease in a similar solution without tyrosine being about 5 millimols./l. With further addition of 2 cc. of 1 N CuSO4, a decrease of 1.5 millimols./l. occurred in 1 week. The stabilized solns. can be used in **medicinal cosmetics** in place of **colloidal sulfur**, in other medical applications, and for spraying plants, etc.

L21 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1951:14852 HCAPLUS
DOCUMENT NUMBER: 45:14852
ORIGINAL REFERENCE NO.: 45:2642a-c
TITLE: Fine sulfur
PATENT ASSIGNEE(S): Doresa Akt.-Ges.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 268093		19500801	CH	

AB Solns. of NH4 mono-, di-, and polysulfides (I), dimethyl and ethylamine sulfides separately, in mixture, or with (NH4)2SO3, (NH4)2S2O3, or polythionates, containing 30% S, when dried in a vacuum spray or revolving drum dryers below the b.p. of the solns. or at 105-165° yield **ultrasulfur** (II) particles 0.1-0.5 μ and probably hydrated. From dilute solns. or in the presence of protective **colloids** like sugar, dextrins, sulfite waste liquors, caseinates, resinates, or wetting agents like soap, the particles of S are smaller. Inert materials like talcum, kaolin, or chalk may be added before or after drying. II is 5 times as effective for agricultural purposes as an equal weight of ordinary S; and is suitable for use in the rubber industry. Evaporation of pure I yields S meeting specifications of Deutsches Arzneibuch VI and is suitable for **pharmaceutical** or **cosmetic** uses.

L21 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1942:34120 HCAPLUS
DOCUMENT NUMBER: 36:34120
ORIGINAL REFERENCE NO.: 36:5322a-b
TITLE: Sulfur solutions with sulfur molecularly dispersed in water and/or alcohol
INVENTOR(S): Nachf, Firma Heinrich Mack
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 50882		19410915	NL	

AB Solns. of **sulfur** can be prepared by use of cyclohexylamine or benzylamine. Such solns. can be made useful in **cosmetics** or **therapy** by mixing them with stabilizers in such concns. that formation of **colloidal** S is prevented; e. g., 0.5 part of S is dissolved in 2 parts cyclohexylamine and treated with 97.5 parts of a solution of 2.5 parts K oleate and 24 parts triethanolamine in water.
IT **7704-34-9, Sulfur**

(solns., for use in **cosmetics** or **therapy**)

L21 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1932:24317 HCAPLUS
DOCUMENT NUMBER: 26:24317
ORIGINAL REFERENCE NO.: 26:2556g-h
TITLE: **Pharmaceutical** preparations containing **colloidal sulfur**
INVENTOR(S): Szarka, Mihaly
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 103874		19310417	HU	

AB A double ampoule is made containing 2 liquids which when mixed up give colloidal S. Examples are I solns. together with sulfides, polysulfides or thiosulfate and sulfide solns. with sulfosalicylic acid. For **skin** treatment ointments can be prepared that produce colloidal S on the surface of **skin**.
IT **7704-34-9, Sulfur**
(**colloidal, pharmaceutical** prepns. containing)

L21 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1931:46349 HCAPLUS
DOCUMENT NUMBER: 25:46349
ORIGINAL REFERENCE NO.: 25:5248a
TITLE: An effective **colloidal sulfur** powder. (**Sulfoderm**-Heyden)
AUTHOR(S): Kloeppel, W. F.
SOURCE: Muenchener Medizinische Wochenschrift (1931), 78, 151
CODEN: MMWOAU; ISSN: 0027-2973
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB This preparation is composed of 50% fine talcum particles coated with colloidal S to the extent of 1% and is claimed to be especially effective in eczematic conditions, acne and seborrheic affections of the scalp.
IT **7704-34-9, Sulfur**
(**colloidal**, powder)

L21 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1928:20530 HCAPLUS
DOCUMENT NUMBER: 22:20530
ORIGINAL REFERENCE NO.: 22:2408a-b
TITLE: Clinical and experimental study of **colloidal sulfur**
AUTHOR(S): Montagnani, M.
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1926), 32, 269-310
From: Physiol. Abstracts 12, 124.
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Colloidal S in small intravenous or **hypodermic** doses causes increase of hemoglobin and of the corpuscular mass in fowls and rabbits. The increased hemopoietic activity is due especially to a stimulation of the bone marrow. It can be attributed not to the special phys. state of the drug (colloidal), but to the substance per se. The drug also increases oxidation in the organism, and augments the output of urea, etc. It probably liberates O from HbO, and seems to possess special anabolic function. In man also the use of colloidal S (**hypodermic**) has

hemopoietic and oxidative effects.
IT **7704-34-9, Sulfur**
(colloidal, pharmacol. action of)

L21 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1912:16216 HCAPLUS
DOCUMENT NUMBER: 6:16216
ORIGINAL REFERENCE NO.: 6:2294h-i
TITLE: **Pharmaceutical** and **cosmetic** preparations containing **sulfur.**
INVENTOR(S): Kelber, C.; Schwarz, A.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 245621		19110323	DE	

AB In the manufacture of **pharmaceutical** and **cosmetic** preparations containing **sulfur** in **colloidal** and stable form, acting with SO2 on H2S in the presence of glutin or its degradation products or derivs., precipitating the resulting solution with ice water and drying the resulting precipitate The details of the process and properties of the product are given.

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L14 21774 SEA FILE=REGISTRY ABB=ON PLU=ON SULFUR/BI
L15 429476 SEA FILE=HCAPLUS ABB=ON PLU=ON L14 OR SULFUR OR SULPHUR
L23 36 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND (ITCH? OR ANTIITCH?)

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=>

=> d ibib abs hitrn l23 1-36

L23 ANSWER 1 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:947855 HCAPLUS
DOCUMENT NUMBER: 140:8456
TITLE: Antidandruff hair preparations containing **sulfur**
INVENTOR(S): Kimura, Reiko; Umesawa, Tadashi
PATENT ASSIGNEE(S): Lion Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003342131	A2	20031203	JP 2002-153415	20020528

PRIORITY APPLN. INFO.: JP 2002-153415 20020528
AB This invention relates to hair prepns. comprising **sulfur,** water-swelling clay minerals, glycols and/or copolymers thereof, and cellulose derivs. The hair prepns. in the form of shampoos and hair rinses, prevent dryness caused by **sulfur** and controls dandruff and **itching.** A hair conditioner contained S 0.1, mallow exts.

0.1, montmorillonite 0.1, propylene glycol 3, hydroxyethyl cellulose 0.2, methylparaben 0.3, pH adjusters q.s. to pH 5.5, perfumes 0.5, and distilled water balance to 100 %.

IT **7704-34-9**, **Sulfur**, biological studies
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(antidandruff hair prepns. containing **sulfur** and moisturizing ingredients)

L23 ANSWER 2 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:723649 HCAPLUS
DOCUMENT NUMBER: 139:235032
TITLE: Liquid cosmetics containing **sulfur** -containing rock salts
INVENTOR(S): Kurata, Keizo
PATENT ASSIGNEE(S): Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003261413	A2	20030916	JP 2002-60659	20020306

PRIORITY APPLN. INFO.: JP 2002-60659 20020306

AB Liquid cosmetics, which show anti-**itching** effect, contain rock salts containing 0.4-0.6 weight% S, 35-45 weight% Na, 50-60 weight% Cl, 0.17-0.2 weight% K, 50-100 ppm P, 600-700 ppm Fe, 10-30 ppm Ca, 1-10 ppm Mg, 1-10 ppm Mn, and 50-120 ppm Br. A lotion was prepared from Nepalese rock salt 2.0, 1,3-butylene glycol 4.0, Na citrate 0.1, H2O 82.7, EtOH 10.0, polyoxyethylene hydrogenated castor oil ester 1.0, geraniol 0.1, and Me p-hydroxybenzoate 0.1 weight part.

IT **7704-34-9**, **Sulfur**, biological studies
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(liquid cosmetics containing S-containing rock salts)

L23 ANSWER 3 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:551492 HCAPLUS
DOCUMENT NUMBER: 139:117341
TITLE: Preparation of nitrogenous cyclic ketone derivatives as tachykinin receptor antagonists
INVENTOR(S): Yamaoka, Masayoshi; Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057668	A1	20030717	WO 2002-JP13581	20021226

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

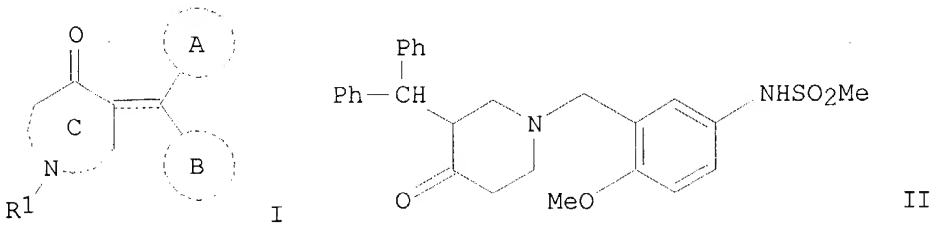
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2003252853 A2 20030910 JP 2002-376677 20021226

PRIORITY APPLN. INFO.: JP 2001-400051 A 20011228

OTHER SOURCE(S): MARPAT 139:117341

GI



I II

AB Novel cyclic amine ketone compds. such as benzhydrylpiperidinone and benzhydrylpyrrolidinone derivs. represented by the formula (I) [wherein rings A and B each represents an optionally substituted aromatic ring, or rings A and B may be bonded to each other through linking between bonds or substituents thereof to form a ring; ring C represents a nitrogenous saturated heterocycle optionally having one or more substituents besides the oxo (provided that 2,3-dioxopyrrolidine ring is excluded); R1 represents hydrogen, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group; and a solid line accompanied by a dotted line indicates a single bond or double bond] are prepared These compds. have high antagonistic activity against a tachykinin receptor, especially a substance P (SP) receptor, and are useful for the prevention and/or treatment of pollakiuria (increased urinary frequency), urinary incontinence, asthma, rheumatoid arthritis, osteoarthritis, pain, coughing, **itching**, chronic obstructive pulmonary disease, sensitive bowel disease, vomiting, depression, anxiety, obsessive-compulsive neurosis, panic disorder, manic-depressive psychosis, schizophrenia, mania, migraine headache, cancer, HIV infection, cardiovascular diseases, sun light dermatitis, sexual dysfunction, ataxia, cognition disorder, or circadian rhythm disorder. Thus, 150 mg methanesulfonyl chloride was added to 473 mg 1-(5-amino-2-methoxybenzyl)-3-benzhydryl-4-piperidinone dihydrochloride (preparation given) in 5 mL pyridine with stirring at room temperature and stirred at room temperature for 1 h to give, after workup and silica gel chromatog., 85% N-[3-[(3-benzhydryl-4-oxo-1-piperidinyl)methyl]-4-methoxyphenyl]methanesulfonamide (II). II showed IC50 of 0.26 nM for inhibiting the binding of 125I-BHSP to a substance P receptor of human lymphoblast cell (IM-9). Pharmaceutical formulations, e.g. a coated tablet containing 3-benzhydryl-1-methyl-4-piperidone, were described.

IT **594-44-5**, Ethanesulfonyl chloride **26412-87-3**, Pyridine-**sulfur** trioxide complex

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nitrogenous cyclic ketone derivs. as tachykinin receptor antagonists for prevention and/or treatment of various diseases)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 4 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:464478 HCAPLUS

DOCUMENT NUMBER: 139:264696

TITLE: Acute Effect of Air Pollution on Respiratory Complaints, Exhaled NO and Biomarkers in Nasal Lavages

of Allergic Children during the Pollen Season
AUTHOR(S): Steerenberg, P. A.; Bischoff, E. W. M. A.; de Klerk, A.; Verlaan, A. P. J.; Jongbloets, L. M. N.; van Loveren, H.; Opperhuizen, A.; Zomer, G.; Heisterkamp, S. H.; Hady, M.; Spieksma, F. T. M.; Fischer, P. H.; Dormans, J. A. M. A.; van Amsterdam, J. G. C.
CORPORATE SOURCE: Laboratory for Toxicology, Pathology and Genetics, National Institute for Public Health and the Environment, Bilthoven, Neth.
SOURCE: International Archives of Allergy and Immunology (2003), 131(2), 127-137
CODEN: IAAIEG; ISSN: 1018-2438
PUBLISHER: S. Karger AG
DOCUMENT TYPE: Journal
LANGUAGE: English

AB During 2 mo of the pollen season, the acute and putative adjuvant effect of traffic-related air pollution on respiratory health was examined in children sensitized to grass pollen or house dust mite (HDM). Respiratory complaints were objectified by measuring exhaled NO and inflammatory mediators in nasal lavage (NAL). During the study, skin prick neg. (n = 31) or pos. to grass pollen (n = 22), HDM (n = 34), or grass pollen + HDM (n = 32), children kept a daily diary on respiratory symptoms; NAL and exhaled air was sampled twice/wk. Concns. of air pollutants and pollen were monitored continuously. Like children sensitized to HDM, those sensitized to pollen reported respiratory complaints (shortness of breath, **itchy** eyes, blocked nose) more frequently than non-sensitized children during (but not before) the pollen season; respiratory complaints of sensitized children were independent of pollen levels. Also, exposure to increased PM10 concns. induced shortness of breath in pollen- and HDM-sensitized children; O3 induced blocked nose in HDM-sensitized children. Combined exposure to PM10 + pollen and O3 + pollen induced blocked nose in HDM-sensitized children and children sensitized to pollen + HDM. Significant pos. assocns. were observed between exhaled NO and NO2, CO, PM2.5, and pollen concns. in sensitized and non-sensitized children. At the start of the pollen season, the NAL concentration of eosinophils and eosinophilic cationic proteins in pollen-sensitized children was increased vs. winter, but their levels were not further affected by increased exposure to pollen or air pollution. During the pollen season, sensitized children continuously report a high prevalence of respiratory complaints which coincides with increased levels of upper and lower airway inflammatory markers. No addnl. pro-inflammatory effect of air pollution was observed, indicating air pollution does not facilitate allergen-induced inflammatory responses.

IT **7446-09-5, Sulfur** dioxide, biological studies
RL: ADV (Adverse effect, including toxicity); POL (Pollutant); BIOL (Biological study); OCCU (Occurrence)
(traffic-related air pollution acute effect on respiratory disorders, exhaled nitric oxide, and nasal lavage biomarkers in allergic children during pollen season)

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 5 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:454079 HCAPLUS
DOCUMENT NUMBER: 139:11884
TITLE: Compositions for treating dry and **itchy** skin
INVENTOR(S): Uphoff, Christian
PATENT ASSIGNEE(S): Umwelttechnik Georg Fritzmeier Gmbh & Co., Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047533	A2	20030612	WO 2002-DE4372	20021128
WO 2003047533	A3	20031016		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10158712	A1	20030626	DE 2001-10158712	20011129

PRIORITY APPLN. INFO.: DE 2001-10158712 A 20011129

AB A composition for treating skin is disclosed, containing a mixture of photosynthetically active microorganisms and luminous bacteria and a chitin-based polysaccharide in aqueous solution Medical plant exts., enzymes, and trace metals can be included in the formulations. The compns. are applied on dry, **itchy** skin; also rashes, dandruff can be treated.

IT **7704-34-9**, **Sulfur**, biological studies
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(compns. for treating dry and **itchy** skin)

L23 ANSWER 6 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:23347 HCAPLUS
DOCUMENT NUMBER: 138:78490
TITLE: Adhesive treatment for tinea cruris
INVENTOR(S): Narang, Upvan; Nicholson, William S. C.; Sherbondy, Anthony; Szabo, Gabriel N.
PATENT ASSIGNEE(S): Closure Medical Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003007946	A1	20030109	US 2001-898005	20010705
US 6585967	B2	20030701		

PRIORITY APPLN. INFO.: US 2001-898005 20010705

AB A method of treating or preventing tinea cruris, commonly known as Jock **itch**, includes applying a polymerizable monomer adhesive composition to an area of skin afflicted with or susceptible to tinea cruris, optionally with at least 1 of an addnl. antifungal agent or a skin care additive, and allowing the polymerizable monomer composition to polymerize to form a polymer film over the area of skin. A 2-octyl cyanoacrylate monomer composition is prepared by adding 30 mg haloprogin to 2 mL 2-octyl cyanoacrylate. The mixture is sealed in a glass vial and stirred. The characteristics of the composition are observed at about 1 min after preparation and later at least 24 h after preparation The solution remains clear, indicating that haloprogin is soluble in the monomer and does not cause premature polymerization The composition is then applied to an affected area of skin showing the characteristics of tinea cruris. The monomer composition polymerizes in under 1 min, resulting in a polymerized film of material covering the affected area. The polymerized film will remain in place for at least three days.

IT **7782-99-2**, Sulfurous acid, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antifungal agent; adhesive treatment for tinea cruris)

L23 ANSWER 7 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:937303 HCAPLUS
DOCUMENT NUMBER: 138:20443
TITLE: Endocrine disruptor screening using DNA chips of endocrine disruptor-responsive genes
INVENTOR(S): Kondo, Akihiro; Takeda, Takeshi; Mizutani, Shigetoshi; Tsujimoto, Yoshimasa; Takashima, Ryokichi; Enoki, Yuki; Kato, Ikunoshin
PATENT ASSIGNEE(S): Takara Bio Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 386 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002355079	A2	20021210	JP 2002-69354	20020313

PRIORITY APPLN. INFO.: JP 2001-73183 A 20010314
JP 2001-74993 A 20010315
JP 2001-102519 A 20010330

AB A method and kit for detecting endocrine-disrupting chems. using DNA microarrays are claimed. The method comprises preparing a nucleic acid sample containing mRNAs or cDNAs originating in cells, tissues, or organisms which have been brought into contact with a sample containing the endocrine disruptor. The nucleic acid sample is hybridized with DNA microarrays having genes affected by the endocrine disruptor or DNA fragments originating in these genes have been fixed. The results obtained are then compared with the results obtained with the control sample to select the gene affected by the endocrine disruptor. Genes whose expression is altered by tri-Bu tin, 4-octaphenol, 4-nonylphenol, di-N-Bu phthalate, dichlorohexyl phthalate, octachlorostyrene, benzophenone, diethylhexyl phthalate, diethylstilbestrol (DES), and 17-β estradiol (E2), were found in mice by DNA chip anal.

IT **9023-05-6**, Sulfurtransferase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(3 MERCA-pitopyruvate sulfurtransferase; endocrine disruptor screening using DNA chips of endocrine disruptor-responsive genes)

IT **206566-35-0**, Molybdopterin synthase sulfurylase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(endocrine disruptor screening using DNA chips of endocrine disruptor-responsive genes)

L23 ANSWER 8 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:290681 HCAPLUS
DOCUMENT NUMBER: 136:314994
TITLE: Cosmetic or therapeutic compositions containing skin protectants
INVENTOR(S): Schincaglia, Nick J.; Fowle, Robert
PATENT ASSIGNEE(S): Can.
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 6372230	B1	20020416	US 1999-299904	19990428

PRIORITY APPLN. INFO.: US 1999-299904 19990428

AB The present invention is directed to a skin care composition, use of the composition, particularly on unexposed skin areas, and an apparatus for applying the composition to such unexposed and hard to reach skin areas. The composition is most suitable for application to unexposed skin to alleviate dryness, **itchiness**, odor and/or bacterial growth and comprises 0.5-3.0% by weight of a skin-protectant, 0.5-3.0% preservative, 25-50% by weight alc., and the remainder being water. A formulation contained 60.8, iso-Pr alc. 33, Dowicil-200 2 selenium sulfide 2, allantoin 2, and fragrance 0.2% by weight

IT **7446-34-6**, Selenium sulfide
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cosmetic or therapeutic compns. containing skin protectants)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:275983 HCAPLUS
DOCUMENT NUMBER: 136:309936
TITLE: Preparation of 2-phenyl-3-(2-methoxybenzylamino)piperidine derivatives as antagonists of tachykinin receptor and process for producing the same, and intermediate therefor
INVENTOR(S): Takahashi, Masami; Sugahara, Masakatsu; Mizuuchi, Hiroshi; Saito, Akira; Ishii, Taketoshi
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028853	A1	20020411	WO 2001-JP8616	20011001
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092320	A5	20020415	AU 2001-92320	20011001
JP 2002220386	A2	20020809	JP 2001-305046	20011001

PRIORITY APPLN. INFO.: JP 2000-301563 A 20001002
WO 2001-JP8616 W 20011001

OTHER SOURCE(S): MARPAT 136:309936
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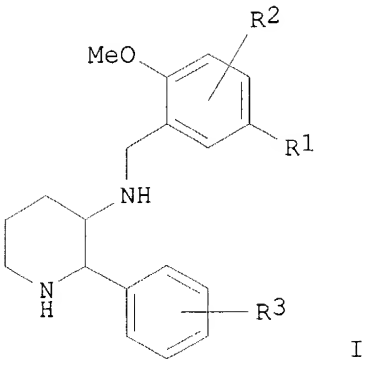

I

AB Benzylamine compds. represented by the general formula (I) or pharmacol. acceptable salts thereof [wherein R1 represents a fused aromatic heterocyclic group which has one to four heteroatoms selected among nitrogen, oxygen, and **sulfur** atoms and has been optionally substituted by halogeno, oxo, nitro, cyano, lower alkyl, lower halogenoalkyl, lower alkoxy, pyridyl, etc.; and R2 and R3 each represents hydrogen, halogeno, lower alkyl, lower halogenoalkyl, or lower alkoxy] are prepared These compds. are useful for the prevention or treatment of inflammation, allergy, pain, migraine, neuralgia, **itching**, coughing, central nervous system, digestive tract diseases, nausea, urination disorders, circulatory diseases, and immune disorders (no data). They are excellent in absorbability, intracerebral transferability, metabolic stability, serum concentration, and prolonged action. Thus, [(2S,3S)-2-phenylpiperidin-3-yl]amine.(2R,3R)-bis(4-methylbenzoyloxy)succinic acid salt 200, 5-[6-fluoro-4(3H)-quinazolin-3-yl]-2-methoxybenzaldehyde 117, sodium triacetoxyborohydride 377 mg, and 0.2 mL AcOH were added to 6 mL CH2Cl2 and stirred at room temperature for 4 h to give [(2S,3S)-2-phenylpiperidin-3-yl][2-methoxy-5-(6-fluoro-4(3H)-quinazolin-3-yl)benzyl]amine dihydrochloride.

REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 10 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:443090 HCAPLUS
DOCUMENT NUMBER: 135:170013
TITLE: Exposures and health effects from a large **sulfur** fire in South Africa
AUTHOR(S): Batterman, Stuart A.; White, Neil
CORPORATE SOURCE: School of Public Health, University of Michigan, USA
SOURCE: Annual Meeting & Exhibition Proceedings CD-ROM - Air & Waste Management Association, 92nd, St. Louis, MO, United States, June 20-24, 1999 (1999), 2971-2986. Air & Waste Management Association: Pittsburgh, Pa. CODEN: 69BJPG
DOCUMENT TYPE: Conference; (computer optical disk)
LANGUAGE: English

AB A massive fire at a **sulfur** stockpile in South Africa in Dec. 1995 is estimated to have released 14,500 tons of **sulfur** dioxide over a 21-h period. High and persistent winds reduced the effectiveness of fire-fighting activities and increased the severity of impacts. Nearby urban and agricultural areas were seriously affected. Residents of Macassar, a town of 30,000, reported a pungent odor and taste, and severe irritation, e.g., burning and irritation of eyes, nose, and throat, coughing, shortness of breath, chest pain, stomach cramps and vomiting, and thousands were evacuated after midnight. Several deaths occurred, including two individuals who died before reaching a hospital. While the

nos. are disputed, 10-15 deaths are blamed on the fire, including those of several children. This paper focuses on exposures and respiratory effects resulting from the fire. The health effects anal. is based on a case series of 1135 exposed persons who obtained clin. evaluations subsequent to the fire. There were widespread and immediate direct health effects in the exposed population, and persistence of symptoms for a week or more following the fire appeared common. Persons with pre-existing asthma had the highest need for emergency medical treatment and constituted the most sensitive group in the population. Exposures to SO2 were sufficient to induce bronchospasm in previously healthy individuals, and 15 cases of reactive airways dysfunction syndrome (RADS) were diagnosed in follow-ups of exposed people. SO2 concns. in Macassar during the worst period of the fire, estimated using dispersion modeling, averaged 3-55 ppm (7-h average), and at times exceeded the IDLH (immediately dangerous to life or health) level (100 ppm). These predictions agree with available but limited monitoring data, as well as with the symptomol. of Macassar residents and plant damage patterns. While data limitations restrict some analyses, the severity of impacts is correlated to the estimated exposures. This provides information, lacking in the literature, regarding the significance of community exposure to high but short-term SO2 levels. Critical issues regarding the exposure ests., health health assessment, and uncertainty in this incident are discussed.

IT **7704-34-9, Sulfur**, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(fire of; human exposures to **sulfur** dioxide from a large **sulfur** fire and health effects in South Africa)

IT **7446-09-5, Sulfur** dioxide, biological studies
RL: ADV (Adverse effect, including toxicity); POL (Pollutant); BIOL (Biological study); OCCU (Occurrence)
(human exposures to **sulfur** dioxide from a large **sulfur** fire and health effects in South Africa)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 11 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:283946 HCAPLUS
DOCUMENT NUMBER: 134:295825
TITLE: Preparation of substituted imidazolidinone derivatives as agonists of muscarinic acetylcholine receptor M4
INVENTOR(S): Yamakawa, Takeru; Ando, Makoto; Koito, Seita; Ohwaki, Kenji; Kimura, Toshifumi; Saeki, Toshihiko; Miyaji, Mitsuru; Iwahori, Yuki; Fujikawa, Toru; Otake, Norikazu; Noguchi, Kazuhito
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027104	A1	20010419	WO 2000-JP7133	20001013

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2000076865	A5	20010423	AU 2000-76865	20001013
AU 766233	B2	20031009		
EP 1221443	A1	20020710	EP 2000-966483	20001013
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6699880	B1	20040302	US 2002-110638	20020415

PRIORITY APPLN. INFO.: JP 1999-291232 A 19991013
WO 2000-JP7133 W 20001013

OTHER SOURCE(S): MARPAT 134:295825

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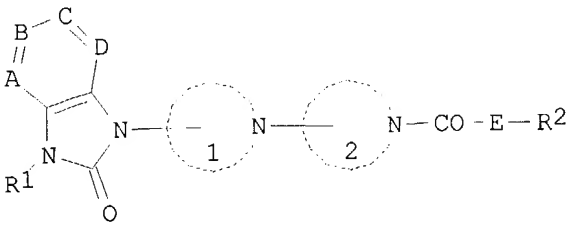

I

AB Compds. represented by general formula [I; A, B, C, C, D = (un)substituted CH, N; E = O, S; ring 1 or 2 = optionally halo or lower alkyl-substituted C3-9 mono or bicyclic aliphatic N-containing heterocyclyl; R1 = lower alkyl alkenyl, lower alkynyl, lower cycloalkyl, lower alkanoyl, lower alkoxycarbonyl, CONH2, lower alkylcarbamoyl, di(lower alkyl)carbamoyl, SO2NH2, lower alkylsulfamoyl, di(lower alkyl)sulfamoyl, (un)substituted lower alkylsulfonyl, (un)substituted lower alkyl; R2 = lower alkyl] are prepared Because of having an effect of stimulating muscarinic acetylcholine receptor M4, these compds. are useful as analgesics for treating painful diseases such as cancer pain, hemicrania, gout, chronic rheumatism, chronic pain or neuralgia, and drugs for treating tolerance to narcotic analgesics typified by morphine, addiction to narcotic analgesics typified by morphine, **itching**, dementia, irritable bowel syndrome, schizophrenia, glaucoma, frequent urination/urinary incontinence, gallstone/cholecystitis, functional dyspepsia or reflux esophagitis. Thus, 1-[1-(1-methoxycarbonylpiperidin-4-yl)piperidin-4-yl]-1,3-dihydro-2H-benzimidazol-2-one was dissolved in DMF, treated with NaH under ice-cooling, stirred for 30 min, treated with Pr iodide, and stirred at room temperature for 3 h to give 1-[1-(1-methoxycarbonylpiperidin-4-yl)piperidin-4-yl]-3-n-propyl-1,3-dihydro-2H-benzimidazol-2-one (II). II in vitro stimulated muscarinic acetylcholine receptor M4 in CHO cells by 101%.

IT **594-44-5**, Ethanesulfonyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted imidazolidinone derivs. as agonists of muscarinic acetylcholine receptor M4 and analgesics for treating painful diseases)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:261253 HCAPLUS
DOCUMENT NUMBER: 133:13660
TITLE: Influence of adjuvants on **itchgrass** (Rottboellia cochinchinensis) control in corn (Zea mays) with nicosulfuron and primisulfuron
AUTHOR(S): Strahan, Ronald E.; Griffin, James L.; Jordan, David L.; Miller, Donnie K.
CORPORATE SOURCE: Louisiana Cooperative Extension Service, Baton Rouge, LA, 70803, USA

SOURCE: Weed Technology (2000), 14(1), 66-71
CODEN: WETEE9; ISSN: 0890-037X
PUBLISHER: Weed Science Society of America
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In field expts., nicosulfuron, at 35 g/ha, controlled **itchgrass** in corn 28 days after treatment better than primisulfuron, at 39 g/ha (80 vs. 44%). Control with both herbicides was greater when applied to six-leaf **itchgrass** than to 10-leaf and with the addition of nonionic surfactant than with an organosilicon surfactant and methylated seed oil blend. Weed control for nicosulfuron plus nonionic surfactant resulted in corn yield approx. 1.5 times that of primisulfuron plus nonionic surfactant and 1.6 times that of nicosulfuron plus an organosilicon surfactant and methylated seed oil blend. When primisulfuron was applied with organosilicon surfactant and methylated seed oil rather than nonionic surfactant, corn yield was reduced by 25%. For nicosulfuron with nonionic surfactant, corn yield averaged approx. twice that of the nontreated check. In other field expts., **itchgrass** control 28 days after treatment with nicosulfuron was enhanced with addition of an organosilicon and nonionic surfactant blend or methylated seed oil (83 and 78%, resp.) compared with nonionic surfactant (69%). Nicosulfuron was less effective when applied with crop oil concentrate or organosilicon surfactants, compared with nonionic surfactant.

IT **111991-09-4**, Nicosulfuron **113036-87-6**, Primisulfuron
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(effect of adjuvants on Rottboellia cochinchinensis control in corn with nicosulfuron and primisulfuron)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 13 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:759996 HCAPLUS
DOCUMENT NUMBER: 131:341993
TITLE: Anti-**itching** compositions for skin disease
INVENTOR(S): Zhao, Baoshan
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1152437	A	19970625	CN 1995-118993	19951221
CN 1064534	B	20010418		

PRIORITY APPLN. INFO.: CN 1995-118993 19951221

AB Anti-**itching** compns. [ointments, creams, powders] for skin disease comprise HgO 80-130, Hg2Cl2 [or arsenolite] 80-130, NaOH 180-230, KNO3 180-230, and **sulfur** 400-500 g.

IT **7704-34-9**, **Sulfur**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-**itching** compns. for skin disease)

L23 ANSWER 14 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:748618 HCAPLUS
DOCUMENT NUMBER: 131:355904
TITLE: Antidandruff, **antiitching** and growth-stimulating hair preparations
INVENTOR(S): Uemura, Masaki; Tsuji, Yoshiharu; Takeda, Shunsuke
PATENT ASSIGNEE(S): Shiseido Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11322546	A2	19991124	JP 1998-152292	19980515

PRIORITY APPLN. INFO.: JP 1998-152292 19980515

AB Antidandruff, **antiitching** and growth-stimulating hair prepns. comprise: [a] sebum inhibitors selected from pyridoxine compds., **sulfur** and hydroxyphthamide and [b] oleyldimethylamine oxide and/or isostearyldimethylamine oxide. A hair lotion contained 95% ethanol 55, oleyldimethylamine oxide 1, pyridoxine glycyrrhetinate 0.5, glycerin 1, ethoxylated hardened castor oil 0.5, malic acid, perfumes, colorants and purified water to 100 weight%.

IT **7704-34-9, Sulfur**, biological studies
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(antidandruff, **antiitching** and growth-stimulating hair prepns.)

L23 ANSWER 15 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:686694 HCAPLUS
DOCUMENT NUMBER: 131:314194
TITLE: Formulation containing a carrier, active ingredient, and surfactant for treating skin disorders
INVENTOR(S): Seidel, William E.
PATENT ASSIGNEE(S): Dermalogix Partners, Inc., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5972920	A	19991026	US 1998-22995	19980212

PRIORITY APPLN. INFO.: US 1998-22995 19980212

AB One or more formulations for treating psoriasis and other skin disorders characterized by redness, **itching**, flaking, scaling, and plaque-type growth. The formulation includes a carrier component, one or more active ingredient components, and a surfactant component. The carrier preferably includes an alc. in substantially equal volume with iso-Pr myristate. The active ingredient component preferably includes a superpotent or high-potency corticosteroid such as clobetasol propionate, an anti-flaking ingredient such as zinc pyrithione, or a combination of the two. It may also include an antifungal compound The surfactant component preferably includes an alkyl sulfate such as sodium lauryl sulfate. The formulations made by applied topically either in spray form or as a direct-contact liquid A composition was prepared containing iso-Pr myristate/isopropanol (50/50 by volume) 99.65 and Zn pyrithione 0.25%.

IT **7704-34-9, Sulfur**, biological studies **56093-45-9**
, Selenium sulfide
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(formulation containing a carrier, active ingredient, and surfactant for treating skin disorders)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:361778 HCAPLUS
DOCUMENT NUMBER: 131:63206
TITLE: Antidandruff, **antiitching** and hair growth stimulanting hair preparations
INVENTOR(S): Uemura, Masaaki; Takeda, Shunsuke
PATENT ASSIGNEE(S): Shiseido Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11152211	A2	19990608	JP 1997-337915	19971120

PRIORITY APPLN. INFO.: JP 1997-337915 19971120

AB Antidandruff, **antiitching** and hair growth-stimulanting prepns. comprise dimethylamine oxide and/or pyridoxines, **sulfur** and/or hydroxyphthalamide as seborrhea inhibitors. A lotion contained 95% ethanol 85.0, dimethylamine oxide 1.0, pyridoxine glycyrrhetinate 0.3, **sulfur** 1.0, glycerin 1.0, ethoxylated hardened castor oil 0.5, sodium lauryl sulfate 0.5, succinic acid, perfumes, colorants and purified water to 100 weight%.

IT **7704-34-9, Sulfur**, biological studies
RL: BUU (Biological use, unclassified); PEP (Physical, engineering or chemical process); BIOL (Biological study); PROC (Process); USES (Uses)
(antidandruff, **antiitching** and hair growth-stimulanting hair prepns.)

L23 ANSWER 17 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:789133 HCAPLUS
DOCUMENT NUMBER: 130:43143
TITLE: Composition for treating skin conditions
INVENTOR(S): Scivoletto, Rosemarie
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852927	A1	19981126	WO 1998-US10286	19980519
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, ES, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9875823	A1	19981211	AU 1998-75823	19980519

PRIORITY APPLN. INFO.: US 1997-47032P P 19970519
WO 1998-US10286 W 19980519

AB Compns. for skin treatment are disclosed and include nicotinamide, nicotinic acid, and nicotinic esters as active ingredients. The compns. are applied topically to the skin to treat skin conditions including acne, fine lines and age spots, **itching** and pain from insect bites, bee stings, fungi (including athletes foot and jock **itch**), flaking and/or scaly skin (including dandruff, sebouheir dermatitis, psoriasis and heat rash) and burns. Different compns. are presented for

use as an acne treatment, a face and body wash, a dermatophyte (nail fungus) treatment, still another is intended for use in makeup, and another in lipstick.

IT **7704-34-9, Sulfur**, biological studies
RL: BUU (Biological use, unclassified); MOA (Modifier or additive use); BIOL (Biological study); USES (Uses)
(skin care compns. containing nicotinates)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 18 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:512580 HCAPLUS
DOCUMENT NUMBER: 129:206992
TITLE: **Antiitching** and deodorant cleansing compositions containing anionic amide surfactants and microbicides for skin and hair
INVENTOR(S): Tsubone, Kazuyuki; Okabe, Bunichi
PATENT ASSIGNEE(S): Kanebo, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10212489	A2	19980811	JP 1997-33302	19970130

PRIORITY APPLN. INFO.: JP 1997-33302 19970130

AB Title compns. contain (A) anionic surfactants containing amido group, 2 chains, and 2 polar groups, (B) 0.002-5 weight% microbicides, and optional (C) 1-40 weight% clay minerals. The compns. show good **antiitching** and deodorant effect and high cleansing and foaming ability. A shampoo composition was prepared from 10 parts N,N'-bis(lauroylamido)ethane-N,N'-di(sodium acetate) and 0.01 part 2,4,4'-trichloro-2'-hydroxydiphenyl ether (DP 300).

IT **7704-34-9, Sulfur**, biological studies
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(**antiitching** and deodorant cleansers containing anionic amide surfactants and microbicides for skin and hair)

L23 ANSWER 19 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:687434 HCAPLUS
DOCUMENT NUMBER: 125:308711
TITLE: Skin care products containing anti-**itching** /anti-irritant agents
INVENTOR(S): Ramachandran, Pallassana N.; Robbins, Clarence R.; Patel, Amrit M.
PATENT ASSIGNEE(S): Colgate-Palmolive Company, USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629983	A1	19961003	WO 1996-US3821	19960321

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,

TM, TT
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

TW 449485	B	20010811	TW 1995-84106353	19950621		
US 5834409	A	19981110	US 1996-598411	19960208		
AU 9653185	A1	19961016	AU 1996-53185	19960321		
BR 9607952	A	19980714	BR 1996-7952	19960321		
ZA 9602501	A	19970929	ZA 1996-2501	19960328		
PRIORITY APPLN. INFO.:			US 1995-411883	A	19950331	
			WO 1996-US3821	W	19960321	

AB Mild aqueous detergent, e.g., shampoo, compns. are disclosed based on a mixture comprising anionic surfactant and amphoteric surfactant, such as betaines, at a level of 0.75-1.25 parts/weight part of anionic surfactant. The compns. also contain climbazole and/or other therapeutic agents such as salicylic acid. The combination of mild surfactant system and therapeutic agent prevent or treat mild skin disorders such as scalp **itch**, scalp irritation, and dry skin when applied as a shampoo, and promotes the natural secretion of sebum. Thus, a shampoo contained Coco Amidopropylbetaine Number 3 30.0, deionized water 25.0, Na deceth sulfate 15.0, ammonium lauryl sulfate 12.0, Na cumenesulfonate 5.0, C30-40 fatty alc. 4.0, di-Me polysiloxane 3.5, distearylmethylammonium chloride 1.0, preservative 1.0, isosteareth 0.8, perfume 0.75, hydroxyethylcellulose 0.6, climbazole 0.5, Polyquaternium 0.35, Na2HPO4 0.2, EDTA 0.1, and colorant 0.013 weight%.

IT **7704-34-9, Sulfur**, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(skin care products containing anti-**itching**/anti-irritant agents)

L23 ANSWER 20 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:681607 HCAPLUS
DOCUMENT NUMBER: 125:308673
TITLE: Compositions for the treatment of dandruff comprising a cytotoxic agent and an antifungal agent
INVENTOR(S): Dascalu, Avi; Oron, Yoram
PATENT ASSIGNEE(S): Ramot University Authority for Applied Research An, Israel
SOURCE: PCT Int. Appl., 9 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629045	A1	19960926	WO 1996-US3988	19960320
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
IL 113057	A1	19990126	IL 1995-113057	19950321
AU 9655261	A1	19961008	AU 1996-55261	19960320
US 6075017	A	20000613	US 1998-913650	19980107
PRIORITY APPLN. INFO.:			IL 1995-113057 A	19950321
			WO 1996-US3988 W	19960320

AB Seborrheic dermatitis of the scalp is treated by a combination of a cytotoxic agent and an antifungal agent. A group of six patients with severe case of dandruff were treated with a composition containing 1.8% coal tar

and a composition containing 2% ketoconazole, one after another, in an amount sufficient to cover the entire scalp on day 1, 3, 4, and 9. There was a considerable decrease in scale formation, reduction in scalp redness and **itching** in all treated patients.

IT **56093-45-9**, Selenium sulfide
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(compns. for treatment of dandruff comprising cytotoxic agent and antifungal agent)

L23 ANSWER 21 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:403227 HCAPLUS
DOCUMENT NUMBER: 121:3227
TITLE: Control of the straw **itch** mite (Acari: Pyemotidae) with **sulfur** in an insect rearing facility
AUTHOR(S): Hanks, Lawrence M.; McCelfresh, James S.; Millar, Jocelyn G.; Paine, Timothy D.
CORPORATE SOURCE: Dep. Entomol., Univ. Calif., Riverside, CA, 92521, USA
SOURCE: Journal of Economic Entomology (1992), 85(3), 683-6
CODEN: JEENAI; ISSN: 0022-0493
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The ectoparasitic mite Pyemotes tritici caused paralysis and reduced longevity in eucalyptus longhorned borer, Phoracantha semipunctata, under laboratory rearing conditions. Application of dusting S to logs that contained pupating borers greatly reduced densities of mites on emerging adult beetles and increased beetle survivorship. Uniform application to all logs in a glasshouse effectively eradicated the mite infestation. A bioassay showed that S may phys. impede the dispersal of immature mites by adhering to the cuticle, but S vapor did not act as a toxin.

IT **7704-34-9**, **Sulfur**, biological studies
RL: BIOL (Biological study)
(straw **itch** mite control by)

L23 ANSWER 22 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:307506 HCAPLUS
DOCUMENT NUMBER: 120:307506
TITLE: Pharmaceutical preparations containing boric acid and camphor for treating seborrhea and other inflammatory conditions of the skin
INVENTOR(S): Huelitzer Veress, Katalin
PATENT ASSIGNEE(S): Hung.
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9407508	A1	19940414	WO 1992-HU37	19921001

W: CS, RO, RU, UA
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE
PRIORITY APPLN. INFO.: WO 1992-HU37 19921001

AB A preparation for treating seborrhea and other inflammatory conditions of the skin comprises the mixture of an ethanolic camphor solution and an aqueous boric acid solution and if desired a siccative and/or an **itching** alleviating substance. Thus, 100 g camphor was dissolved in 610 of 96% EtOH and 290 g water and this ethanolic solution was added to a solution of 30 g boric acid dissolved in 970 g water. Patients suffering from pubertal seborrhea showed no seborrhea after 3-4 wk of treatment with the above

preparation twice daily.
IT **7704-34-9, Sulfur**, biological studies
RL: BIOL (Biological study)
(precipitated, pharmaceutical prepns. containing boric acid and camphor and, for treatment of seborrhea and skin inflammations)

L23 ANSWER 23 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:291635 HCAPLUS
DOCUMENT NUMBER: 120:291635
TITLE: Effects of **sulfur** mustard on selected biochemical parameters of murine peritoneal macrophages in culture
AUTHOR(S): Pilatte, E.; Lison, D.
CORPORATE SOURCE: Unite Toxicol. Ind. Med. Travail, Univ. Catholique Louvain, Belg.
SOURCE: Toxicology in Vitro (1994), 8(1), 125-30
CODEN: TIVIEQ; ISSN: 0887-2333
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effect of the vesicant **sulfur** mustard (SM) has been investigated in vitro using murine peritoneal macrophages. The rationale for this study was three-fold: (1) the first symptoms after exposure to SM are mucous and cutaneous erythema, **itching** and edema suggesting that inflammatory cells may represent an early target of SM toxicity; (2) it has been proposed that macrophages and their secretory products may participate in the degradation of the dermal-epidermal junction; and (3) macrophages are important components of the immune system and any alteration of their metabolism may be relevant in clarifying the immune impairments caused by SM. Cell viability, measured by LDH release and lysozyme production, was reduced in a concentration-dependent manner following exposure to SM at 10 μM or higher. A reduction of superoxide anion and hydrogen peroxide production was observed on exposure to concns. greater than 10 and 1 μM, resp. Cell-associated plasminogen activator activity was significantly increased (130% of the control) following exposure to 10 μM and a decrease occurred with exposures to 100 μM or more. The release of arachidonic acid equivalent was not significantly affected by SM treatment. These results demonstrate the cytotoxic effects of SM towards macrophages in culture. While activated macrophages may be present in the dermis after in vivo exposure to SM, no evidence was found of a direct stimulatory effect of SM on the production of macrophage inflammatory products.
IT **505-60-2, Sulfur** mustard
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biochem. parameters of peritoneal macrophage response to)

L23 ANSWER 24 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:280307 HCAPLUS
DOCUMENT NUMBER: 120:280307
TITLE: Topical compositions containing dimethylsulfone and a **sulfur**-containing amino acid for treatment of skin diseases
INVENTOR(S): Salim, Aws Shakir Mustafa
PATENT ASSIGNEE(S): UK
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9405279 A1 19940317 WO 1993-GB1875 19930903
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9349746 A1 19940329 AU 1993-49746 19930903
CN 1108528 A 19950920 CN 1994-104809 19940316
PRIORITY APPLN. INFO.: GB 1992-18772 A 19920904
GB 1993-10608 A 19930522
WO 1993-GB1875 W 19930903

AB Synergistic compns. comprising methylsulfonylmethane (I) and a S-containing amino acids are used for treatment of skin diseases and improving skin condition. A topical composition contained I 5, DL-cysteine.HCl 2, and cetomacrogol A q.s. 100g. Daily application of above cream provided 100% protectin against skin burns, erythema, **itching** and scaling in patients following a few hs exposure to the sun.

L23 ANSWER 25 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:192634 HCAPLUS
DOCUMENT NUMBER: 114:192634
TITLE: Antihemorrhoidal composition containing **sulfur** and cream of tartar
INVENTOR(S): Verde, Giancarlo U.
PATENT ASSIGNEE(S): Italy
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4985257	A	19910115	US 1989-386726	19890731
EP 581972	A1	19940209	EP 1992-106448	19920415

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: IT 1989-47616 19890206

AB An oral pharmaceutical composition for reducing hemorrhoidal swelling and relieving hemorrhoidal symptoms comprises S 15-30 and cream of tartar (K bitartrate) 70-85%. An anti-hemorrhoidal composition contained flowers of S 25 and cream of tartar 75 g. At the onset of hemorrhoidal symptoms of burning and **itching** in the rectal region, 15 g of the composition was ingested with 4 oz. water then the second dose was taken 12 h later.

IT **133432-88-9**
RL: BIOL (Biological study)
(oral pharmaceuticals containing, for hemorrhoid treatment)

L23 ANSWER 26 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:625338 HCAPLUS
DOCUMENT NUMBER: 111:225338
TITLE: Composition and process for promoting epithelial regeneration using vitamin C, zinc salt, and **sulfur** amino acid
INVENTOR(S): Fahim, Mostafa S.
PATENT ASSIGNEE(S): USA
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 314835	A1	19890510	EP 1987-116429	19871106
EP 314835	B1	19920429		
R: BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1291034	A1	19911022	CA 1987-549656	19871019

PRIORITY APPLN. INFO.: EP 1987-116429 19871106

AB Epithelial tissue is treated with a composition comprising vitamin C, a zinc salt, and a S amino acid in an amount sufficient to stimulate cell proliferation and new cell formation. The medication may addnl. contain a mucopolysaccharide and/or a polysaccharide. A pinkeye powder was made from vitamin C 5, zinc sulfate hepahydrate 1g, keratin sulfate 100 mg, and cysteine 2g and packaged in Al foil for solution in 100 mL of sterilized water to which is added 2 weight % pectin and 0.05% benzalkonium chloride to make an eye spray. Infected cattle were treated by spraying 5 strokes of the spray into each eye for 2 days. After 5-7 days, 269/280 of the animals were normal. The remaining 11 were treated for 4 days and became normal after 10 days.

IT **7704-34-9, Sulfur,** biological studies
RL: BIOL (Biological study)
(amino acids containing, epithelial regeneration composition containing)

L23 ANSWER 27 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:494063 HCAPLUS
DOCUMENT NUMBER: 111:94063
TITLE: Biologically active **sulfur** compounds from marine organisms
AUTHOR(S): Christophersen, Carsten
CORPORATE SOURCE: H. C. Oersted Inst., Univ. Copenhagen, Copenhagen, DK-2100, Den.
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1989), 43(1-2), 155-63
CODEN: PSSLEC; ISSN: 1042-6507
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review, with 25 refs., on the isolation and structure elucidation of selected naturally occurring marine organic S compds. exhibiting biol. activity. Marine isothiocyanates, insecticidal and herbicidal compds., a sulfonium ion in Dogger Bank **itch**, and tyriverdin in relation to the dye Tyrian purple are discussed.

IT **7704-34-9D, Sulfur,** compds.
RL: BIOL (Biological study)
(biol. active, from marine organism)

L23 ANSWER 28 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:219074 HCAPLUS
DOCUMENT NUMBER: 110:219074
TITLE: Water-in-oil type topical compositions for pharmaceutical and/or cosmetic purposes
INVENTOR(S): Novak, Vladimir; Mairych, Michal; Vltavsky, Zdenek
PATENT ASSIGNEE(S): Czech.
SOURCE: Czech., 8 pp.
CODEN: CZXXA9
DOCUMENT TYPE: Patent
LANGUAGE: Czech
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 239217	B1	19860116	CS 1984-1925	19840319

PRIORITY APPLN. INFO.: CS 1984-1925 19840319

AB An ointment base contains Al stearate 0.2-1, Zn stearate 0.05-0.9, beeswax

2-5, borax 0.5-1, and a physiol. acceptable emulsifier (HLB 4-5), especially esters of fatty acids with glycerol and sorbitol, 3-6 wt% in addition to the conventional fatty and hydrophilic components. The ointments base is suitable for therapeutic and/or cosmetic purposes. A typical ointment base contained paraffin oil 20, paraffin wax 5, beeswax 3, Zn stearate 0.2, Al stearate 0.3, borax 0.6, ZnO 8, emulsifier 4, perfume 0.5, a preservative 0.2, and water 58.1%.

IT **7704-34-9, Sulfur**, biological studies
RL: BIOL (Biological study)
(anti-acne cream containing salicylate and)

L23 ANSWER 29 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:90958 HCAPLUS
DOCUMENT NUMBER: 96:90958
TITLE: Case of highly polluted air in a microregion of Sofia
AUTHOR(S): Mikhneva, Ts.
CORPORATE SOURCE: Khig.-Epidemiol. Insp., Sofia, Bulg.
SOURCE: Khigiena i Zdraveopazvane (1981), 24(5), 454-9
CODEN: KHZDAN; ISSN: 0018-8247
DOCUMENT TYPE: Journal
LANGUAGE: Bulgarian

AB In May, 1980, cases of tearing of hosiery and some synthetic fabrics, as well as some health effects (throat and eye irritation, rash, **itching**), were observed in Sofia, Bulgaria. The concns. of SO2 and H2SO4 in atmospheric at that period were considerably higher than those observed under normal conditions. The highest concns. were during noon and afternoon hours. High concns. of SO2 were accompanied by high H2SO4 concns., which was associated with the increased humidity of atmospheric air. High concns. of SO2 and H2SO4 were caused by improper combustion conditions in furnaces of a bakery and a brewery, which use petroleum residues (containing 2.5% S) as a fuel.

IT **7446-09-5**, biological studies
RL: POL (Pollutant); OCCU (Occurrence)
(air pollution by, in Sofia, Bulgaria, hosiery and synthetic fabrics tearing and health effects in relation to)

L23 ANSWER 30 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:431107 HCAPLUS
DOCUMENT NUMBER: 93:31107
TITLE: **Itching** problems among potroom workers in factories using recycled alumina
AUTHOR(S): Johannessen, H.; Bergan-Skar, B.
CORPORATE SOURCE: Lista Aluminiumverk, Farsund, Norway
SOURCE: Contact Dermatitis (1980), 6(1), 42-3
CODEN: CODEDG; ISSN: 0105-1873
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Recycled Al2O3 is reduced electrolytically in pots. The pot fumes contain F-, dust, SO2, COx, and pitch volatiles. **Itching** of the legs results from exposure to the fumes.

IT **7446-09-5**, biological studies
RL: POL (Pollutant); OCCU (Occurrence)
(air pollution by fumes containing, from aluminum oxide reduction to aluminum, skin **itching** from)

L23 ANSWER 31 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1950:3972 HCAPLUS
DOCUMENT NUMBER: 44:3972
ORIGINAL REFERENCE NO.: 44:788h-i,789a-b
TITLE: Diagnosis and control of mange in dairy cattle
AUTHOR(S): Schwardt, H. H.
SOURCE: Journal of Economic Entomology (1949), 42, 444-6
CODEN: JEENAI; ISSN: 0022-0493

DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Methods of diagnosis for mange caused by Sarcoptes scabiei caprae and Chlorioptes boris are described. In New York state control by dipping the infested animals was impracticable, but spraying with high-pressure equipment gave excellent control. The toxicants used were lime-S solution (I); wettable S alone (II); S + rotenone (III), and benzene hexachloride (IV). Solution I at 1:15, temperature 100°F., in 4 applications gave good control; II at 30 lb./100 gal. water in 4 applications, and III at 20 lb. wettable S + 1 lb. rotenone (5%) per 100 gal. in 4 applications also showed high effectiveness. Tests with IV at 4 and 6 lb. of γ-isomer/100 gal. revealed the need for at least 2 applications at the higher concentration to eradicate mange. Higher doses may injure young calves. No evidence of objectional odor or taste of milk from cows sprayed with IV was obtained. The milk taken from cows a few hrs. after spraying with 6 lb. of the 6% γ-isomer of IV contained about 4 p.p.m. IV (based on determination of organic chloride). The IV content diminished rapidly and disappeared in about 1 week. The amts. found are not considered hazardous to the consumer of the milk under actual dairying conditions.
IT **1344-81-6**, Lime-**sulfur** **7704-34-9**, **Sulfur**
(in control of Chlorioptes bovis and Sarcoptes scabiei caprae on dairy cattle)

L23 ANSWER 32 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1947:38618 HCAPLUS
DOCUMENT NUMBER: 41:38618
ORIGINAL REFERENCE NO.: 41:7631a-b
TITLE: Hog mange control tests
AUTHOR(S): Hixson, Ephriam; Muma, Martin H.
CORPORATE SOURCE: Univ. of Nebraska, Lincoln
SOURCE: Journal of Economic Entomology (1947), 40, 451
CODEN: JEENAI; ISSN: 0022-0493
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The materials now generally recommended for controlling Sarcoptes scabiei suis on hogs (lime-S, coal-tar creosote, petroleum oil) are difficult to handle, require several applications, or injure the animals. Tests made by H. and M. show that sprays containing 0.25-0.50% by weight of γ-benzene hexachloride in a wettable powder gave complete control; 0.082% was not effective. Benzyl benzoate and DDT emulsion at 0.50% and a com. rotenone spray were not effective.
IT **1344-81-6**, Lime-**sulfur**
(in hot-mange control)

L23 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1944:14764 HCAPLUS
DOCUMENT NUMBER: 38:14764
ORIGINAL REFERENCE NO.: 38:2159c-e
TITLE: Some observations on the bionomics of the **itch** mite (Psorergates ovis) of sheep and its control with lime-**sulfur** dips
AUTHOR(S): Graham, N. P. H.
SOURCE: Journal of the Council for Scientific and Industrial Research (Australia) (1943), 16, 206-14
CODEN: JCOYAJ; ISSN: 0368-1734
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Expts. on the transmission and control of the **itch** mite are described. In trials, Na arsenite solution (0.2% As2O3) and suspensions of rotenone (0.005%) killed a large proportion, but not all, of the mites on treated skin sites. Lime-**sulfur** solns. containing 0.4% weight/volume

of polysulfide-**sulfur** completely eliminated mites. In the field, 10,000 sheep dipped in 1% lime-**sulfur**, containing 0.03% "Agral 3" wetting agent, remained free from mites for 8 months. The polysulfide-**sulfur** content of the dip remained within effective limits during dipping.

IT **1344-81-6**, Lime-**sulfur**
(in Psorergates ovis control on sheep)

L23 ANSWER 34 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1942:39984 HCAPLUS
DOCUMENT NUMBER: 36:39984
ORIGINAL REFERENCE NO.: 36:6299e-g
TITLE: Sarcoptic scab on pigs
AUTHOR(S): Linsert, H.
SOURCE: Tieraerztliche Rundschau (1941), 47, 140-1
CODEN: TIERAW; ISSN: 0371-7534
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Scab was observed on properly kept and fed pigs. It was found that the sore places were infected with Sarcoptes scabiei var. suis. Twice bathing the pigs in **sulfur**-lime baths seemed to have cured them. 25 lb. (German) of flowers of S were made to a paste with hot water. The paste and 15 lb. (German) of unslaked lime were transfered into 125-150 l. of boiling water and boiled for 40-50 min. until all the S disappeared from the surface. The mixture was diluted with warm water to 500 l., allowed to settle out and used as a bath for the infected animals.

L23 ANSWER 35 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1938:42598 HCAPLUS
DOCUMENT NUMBER: 32:42598
ORIGINAL REFERENCE NO.: 32:5954h-i
TITLE: Physiological sensitization to mustard gas (dichlorodiethyl sulfide)
AUTHOR(S): Schwarz, Fritz
SOURCE: Protar (1938), 4, 17-18
CODEN: PRTRAO; ISSN: 0370-1689
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Two tests showed that repeated exposure to mustard gas greatly increased the sensitiveness of the subject to this poison. The increased sensitiveness was apparent not only upon the skin but upon the entire system. The greatest problem of therapeutic treatment of mustard poisoning is to relieve the unbearable **itching**.

IT **505-60-2**, Sulfide, bis(2-chloroethyl)
(sensitization to)

L23 ANSWER 36 OF 36 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1927:25290 HCAPLUS
DOCUMENT NUMBER: 21:25290
ORIGINAL REFERENCE NO.: 21:3085f-g
TITLE: Deleterious action through the skin of poisonous gases at high concentrations (carbon monoxide, hydrogen sulfide, hydrocyanic acid and aniline)
AUTHOR(S): Schutze, Walthr
SOURCE: Archiv fuer Hygiene (1927), 98, 70-83
CODEN: AHYGAJ; ISSN: 0365-2955
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Healthy full-grown cats were placed in a specially designed gas chamber in such a way that pure air was breathed, but the skin of the rump and extremities was exposed to the gas under investigation. Neither CO, at a concentration of 14 volume % nor aniline at 2.2 mg. per liter, had any noticeable effect. HCN, at a concentration of 2.0 volume %, caused the death of the animal in

2 hrs. 26 min., with the symptoms of cyanide poisoning. When the arms of the experimenter Were exposed for 27 min. to a mixture containing 5.5 volume % HCN, bright red blotches appeared, and there was headache and discomfort. When the arms were similarly exposed for 60 min. to 100% H2S, the skin was darkened, there were **itching** spots, red blotches and after several hours erythema. The skin of guinea pigs exposed to this gas likewise developed erythema.

IT **7783-06-4**, Hydrogen sulfide
(poisoning by, through skin)

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L1            49 SEA FILE=REGISTRY ABB=ON  PLU=ON  DIKETONE?
L2               SEL  PLU=ON  L1 1- CHEM :     210 TERMS
L3         37616 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L2
L4         56926 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L3 OR ?DIKETON?
L5        156209 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ?DIONE?
L14        21774 SEA FILE=REGISTRY ABB=ON  PLU=ON  SULFUR/BI
L15       429476 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L14 OR SULFUR OR SULPHUR
L16       505788 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ?SULFUR? OR ?SULPHUR?
L17         2334 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L15 OR L16)(L)?COLLOID?
L18         4618 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L15 OR L16)(L)(?MEDICIN? OR
                 ?PHARM? OR ?THERAP? OR ?DRUG?)
L19          112 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND L18
L21           14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19 AND (SKIN OR ?DERM? OR
                 COSMET?)
L24        22717 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L15 OR ?THIO?)(L)(SUSPENS?
                 OR ?EMULSION?)
L25          807 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 AND (L4 OR L5)
L26            7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L25 AND (SKIN OR ?DERM? OR
                 COSMET?)
L27            7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L26 NOT L21

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L27  ANSWER 1 OF 7  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2000:227963  HCAPLUS
DOCUMENT NUMBER:          132:255752
TITLE:                    Use of ninhydrin derivatives for coloring
                          keratin-containing fibers
INVENTOR(S):              Moeller, Hinrich; Hoeffkes, Horst; Oberkobusch, Doris
PATENT ASSIGNEE(S):       Henkel K.-G.a.A., Germany
SOURCE:                   Ger. Offen., 14 pp.
                          CODEN: GWXXBX
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     DE 19845481        A1   20000406       DE 1998-19845481 19981002
PRIORITY APPLN. INFO.:                   DE 1998-19845481    19981002
OTHER SOURCE(S):          MARPAT 132:255752
GI
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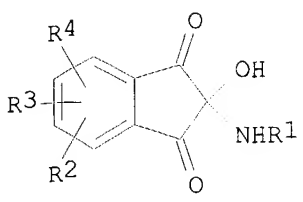

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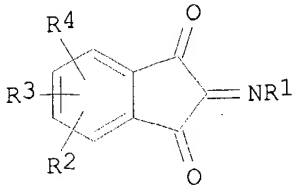

II

AB Ninhydrin derivs. I and II [R1 = (substituted) Ph or naphthyl, (condensed) heterocyclyl, (**thio**)carbamoyl, ureido, C1-6 carboxyalkyl, guanidino; R2-R4 = H, halo, C1-4 alkyl, C1-4 alkoxy, (substituted) amino; 2 of R2-R4 may complete a condensed benzene ring] are direct hair dyes which are equivalent to oxidative dyes in terms of depth of color, masking of gray hair, and fastness with little or no staining or sensitization of the **skin**. They may be used in combination with oxidizing agents and oxidative dye precursors to produce hair colors with extraordinary brilliance and depth and with many color nuances. Thus, a **suspension** of 10 mmol 2-hydroxy-2-phenylamino-1,3-**indandione**, 10 mmol NaOAc, and 1 drop 20% fatty alkyl ether sulfate solution in 100 mL H2O was heated briefly to 80°, cooled, filtered, adjusted to pH 6, and applied to gray hair for 30 min at 30° to produce a violet-blue color; the same composition with N,N-bis(2-hydroxyethyl)-p-phenylenediamine-HCl added before heating produced an intense violet-brown color.

L27 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:608430 HCAPLUS
DOCUMENT NUMBER: 129:231166
TITLE: Process for producing an oil- and water-absorbent polymer capable of entrapping solid particles and liquids and the product thereof
INVENTOR(S): Sojka, Milan F.
PATENT ASSIGNEE(S): Amcol International Corp., USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 863161	A2	19980909	EP 1998-301452	19980227
EP 863161	A3	20000419		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 5830967	A	19981103	US 1997-810268	19970303
US 6107429	A	20000822	US 1997-954020	19971020

PRIORITY APPLN. INFO.:
US 1997-810268 A 19970303
US 1997-954020 A 19971020
US 1994-327580 B2 19941024
US 1995-486107 B2 19950607
US 1995-486455 A2 19950607

AB The present invention is directed to a porous polymer microparticle, in the form of broken spheres, open to a porous oleophilic interior surface area, having a high oil and water absorbency and an apparent bulk d. of .apprx.0.008 to .apprx.0.1 g/cm3. The process comprises the steps of: dissolving ≥1 polyunsatd. monomers along with an effective amount of an organic polymerization initiator in a water-immiscible organic solvent to provide a monomer mixture; adding the monomer mixture to an aqueous solution, preferably having

an effective amount of a **suspension** stabilizer dissolved therein, to form an organic/aqueous biphasic liquid system; vigorously agitating the biphasic liquid system at a rate sufficient to cause the water-immiscible organic phase to be suspended as microdroplets in the aqueous phase; continuing vigorous agitating during polymerization of the monomers in the suspended microdroplets to produce a microporous polymer microparticle; and separating the microporous polymer microparticle from the organic solvent to produce a microporous and oil sorbent polymer microparticle having a mean unit diameter of less than .apprx.50 μm and a total sorptive capacity for mineral oil that is at least .apprx.72%, preferably at least .apprx.90% on dry polymer basis. Thus, polymerizing allyl methacrylate and ethylene glycol dimethacrylate in an aqueous **suspension** containing n-heptane in this manner gave a copolymer which was isolated as a powder, and mixed with Zn **pyrithione** (I) and dried to give a fine powder with 85% entrapped I which is useful as antidandruff component in hair care products.

L27 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:686599 HCAPLUS
DOCUMENT NUMBER: 121:286599
TITLE: Suspension of solid lipid particles as carrier for bioactive agents
INVENTOR(S): Westesen, Kirsten; Siekmann, Britta
PATENT ASSIGNEE(S): Pharmacia AB, Swed.
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9420072	A1	19940915	WO 1994-SE185	19940304
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2113795	AA	19950720	CA 1994-2113795	19940119
AU 9462253	A1	19940926	AU 1994-62253	19940304
AU 676279	B2	19970306		
EP 687172	A1	19951220	EP 1994-909393	19940304
EP 687172	B1	20021204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08507515	T2	19960813	JP 1994-519887	19940304
AT 228821	E	20021215	AT 1994-909393	19940304
PT 687172	T	20030430	PT 1994-909393	19940304
ES 2190439	T3	20030801	ES 1994-909393	19940304
FI 9504143	A	19951019	FI 1995-4143	19950904
NO 9503461	A	19951106	NO 1995-3461	19950904

PRIORITY APPLN. INFO.: US 1993-27501 A 19930305
WO 1994-SE185 W 19940304

AB **Suspensions** of colloidal solid lipid particles (SLPs) of predominantly anisometrical shape, as well as **suspensions** or the lyophilizates thereof are prepared and used as delivery systems for the parenteral administration of poorly water-soluble bioactive substances, particularly drugs and vaccines, **cosmetics**, food and agricultural products. Thus, 0.96 g lecithin and 60 mg lidocaine (I) were dispersed in 4.0 g melted tripalmitate; then 35 mL of heated aqueous phase containing 320 mg Na glycocholate, 0.9 g glycerol and 4 mg **thiomersal** was added to the melt and sonicated and homogenized to obtain a dispersion of I-loaded SLPs with a mean particle size of 90.4 nm.

L27 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1955:15976 HCAPLUS
DOCUMENT NUMBER: 49:15976
ORIGINAL REFERENCE NO.: 49:3137a-i,3138a-i,3139a-i,3140a-i,3141a-i,3142a-i,3143a-i,3144a-i,3145a-i,3146a-i,3147a-i,3148a-i,3149a-i,3150a-i,3151a-b
TITLE: Oxazoles and oxazolones
AUTHOR(S): Cornforth, J. W.; Clarke, H. T.; et al.
CORPORATE SOURCE: Oxford Univ.;Princeton Univ. Press
SOURCE: Chemistry of Penicillin (1949) 688-848
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB OXAZOLE SECTION: New methods for constructing the oxazole ring have been devised and the behavior of functional groups elucidated. The synthesis of oxazoles and imidazoles from K β-hydroxy-α-(α-alkoxyalkylideneamino)acrylates is given. A mixture of 51.1 g. AmCN and 24.5 g. EtOH was kept with 19.2 g. dry HCl below 0° for 2 wk, decomposed with 74 g. K2 CO3 in Et2O and distilled The crude AmC(OEt):NH (62.4 g.), b11 52-65°, was shaken with cold aqueous H2NCH2CO2Et.HCl for 1 h. The upper layer was fractionated to yield Et α-ethoxycaprylideneaminoacetate (I),b0.5 91°, saponified on gentle warming to AmCO2Et. The corresponding Me α-methoxycaprylideneaminoacetate (Ia), b0.1 74°, was similarly prepared A solution of 0.85 g. K in 2.5 g. EtOH and 14 g. Et2O was diluted to 50 mL. with Et2O, cooled to -15° and treated with a similarly cooled mixture of 4.85 g. I and 3.2 g. HCO2Et, yielding after 3 h. at -10°, 2.6 g. of hygroscopic needles of C5H11C(OEt):NC(CO2Et):CHOK (II). The corresponding K Me β-hydroxy-α(α-methoxycaprylideneamino) acrylate (IIa) was obtained in 3.2 g.-yield from 3.75 g. Ia. Treatment of 2.6 g. II and 1.25 g. DL-penicillamine in 5 cc. EtOH with alc.-HCl gave crystalline DL-N-caproylpenicillamine, m. 137-8°. Treatment of II with ethereal HCl produced Et 2-amyloxazole-4-carboxylate, b0.07 99° (dinitrophenyl-hydrazone, m. 165-6°; amide, m. 152°) saponified to 2-amyloxazole-4-carboxylic acid, m. 92-3° (PhNH2 salt. m.98.5-9.5°) readily decarboxylated to 2-amyloxazole, b. 172-3°; picrate, m. 84.5-5.5°. This general synthesis of 2-substituted oxazoles and their 4-carboxylic acids has been extended to Et 2-phenyloxazole-4-carboxylate, m. 69-70°, the corresponding acid, m. 209°, and carried through to the known 2-phenyloxazole. The method can be also applied to the synthesis of imidazoles. Treatment of I with aqueous NH4OH gave 2-amylimidazole-4-carboxylic acid, m. 230° (decomposition); with MeNH2.HCl or alc. H2NCH2CO2Et.HCl, I produced, resp., Et 2-amyl-1-methylimidazole-4-carboxylate (III), m. 42-3°, and Et 2-amylimidazole-4-carboxylate-1-acetate (IIIa), m. 61°. Similarly, Ia gave Me 2-amyl-1-methylimidazole, m. 66.7°, and Me 2-amylimidazole-4-carboxylate-1-acetate, m. 107°. Hydrolysis of III and IIIa yielded 1-methyl-2-amylimidazole-4-carboxylic acid, m. 121-3°, and 2-amyl-4-carboxyimidazole-1-acetic acid, m. 132-4°. Starting from PhCH2CN, Et 2-benzylimidazole-4-carboxylate-1-acetate, m. 111-2°, was likewise prepared, converted by treating with MeOH into a Me Et ester. On heating with aqueous NH4OH and with PhNH2, 2-amyloxazole-4-carboxylic acid was converted into 2-amylimidazole, m. 33-4° and 1-phenyl-2-amylimidazole, m. 143-4°. Synthesis of oxazoles by rearrangement of oxazolones. The Na salt of 2-benzyl-4-hydroxymethylene-5-oxazolone (2.7 g.) in 50 mL. absolute MeOH was treated with 5 mL. absolute Et2O containing 0.38 g. HCl. The gummy product (2.28 g.) was taken up in 10 mL. absolute MeOH and heated for 30 min. with 6.2 mL. H2O containing 0.42 g. NaOH. The residue on evaporation was dissolved in 10 mL. of iced H2O, acidified with dilute HCl to pH 6.5 and extracted with Et2O, yielding 700 mg. 2-benzyloxazole-4-carboxylic acid, m. 158°. On heating at 220°, crude 2-phenyl-4-(α-hydroxyethylidene)-5-oxazolone rearranged to 2-phenyl-5-methyloxazole (IV), m. 184-5° (decomposition).

Similarly, on heating to 230°, Na 4-hydroxymethylene-g-amyl-5-oxazolone rearranged to 2-amyloxazole-4-carboxylic acid. Evaporation of 2-(1-pentenyl)-4-(hydroxymethylene)-5-oxazolone in NaOH and fusion of the residue at 250° under reduced pressure yielded 2-pentenyloxazole-4-carboxylic acid, m. 145-7°. Incidental syntheses of oxazole derivs. The action of PhSO3Ag on Me **thiobenzylpenaldate** di-Et acetal produced colorless prisms of 2-benzyloxazole-4-carboxylic acid, m. 156-7° and the dehydration of Et α-benzylamino-acetoacetate gave Et 2-phenyl-5-methyloxazole-4-carboxylate, m. 51-2°, hydrolyzed to the acid, m. 180-1°, decarboxylated at 220° in the presence of a trace of CuO to IV. Thus a reaction known to succeed with α-acylamino ketones and carboxylic esters is extended to β-keto esters. The 2-substituted oxazoles and their 4-carboxylic acids and esters are feebly basic, readily oxidized by cold aqueous KMnO4 but stable to Br in CCl4. The ring opens on warming with 2,4-(O2N)2-C6H3NHNH2 in 2N HCl with a tendency to formation of glyoxal osazone derivs. Rosenmund reduction of 2-amyloxazole-4-carboxylic acid chloride produced 2-amyloxazole-4-carboxaldehyde, b8 108° (2,4-dinitrophenylhydrazone, m. 172-3°), converted by warming with D-penicillamine-HCl in AcOH to the thiazolidine, devoid of antibiotic properties. From the corresponding Et ester, 2-benzyl-4-carboxyoxazole hydrazide, m. 81-3° and benzylamide, m. 121-2° were prepared
In attempts to synthesize the thiazolidine-oxazolone structure for penicillin, attention was directed to the preparation of 5-alkoxyoxazoles and many variations of the general method of dehydrating α-acylamino esters with P2O5 were introduced. By the use of PCl5, P2O5, POCl3, SOCl2, and PhSO2Cl, the following new oxazoles were prepared (substituent given): 2-Ph, 5-MeO, b9 141°; 2-Ph, 5-PhCH2O, m. 56°; 2-PhCH2, 5-EtO, b15 152-4°; 2-PhCH2, 5-MeO, m.31-2°; 2-Am, 5-EtO, b0.8 82-5°; 2-Am, 5-MeO, b1.0 60-65°; 2-(1-C5H9), 5-EtO, b20 125-8° (C5H9 = pentenyl); 2-(1-C5H9), 5-MeO, b15 108-10°; 2-PhCH:CH, 5-EtO, m. 35°; 2-PhCH:CH, 5-Ph CH2O, picrate, m. 135° (decomposition); 2-Ph, 4-Me, 5-EtO, b10 151°; 2-Ph, 4-Me, 5-PhCH2O, picrate, m. 112-13°; 2-PhCH2, 4-Me, 5-EtO, b15 145-50°; 2-Am, 4-Me, 5-EtO, b3 92°; 2,4-Ph2, 5-EtO, m. 47-8°; 2-Ph, 4-PhCH2, 5-EtO, picrate, m. 105°; 2-Ph, 4-PhCH2, 5-PhCH2O, picrate, m. 117°; 2,4-(PhCH2)2, 5-EtO, b0.3 145-50°; 2-Am, 4-PhCH:CH, 5-EtO, m. 92°; 2-Ph, 4-CO2Et, 5-EtO, m. 75°; 2-Am, 4-CO2Et, 5-EtO, b0.1 122-5°; 2-(1-C5H9), 4-CO2Et, 5-EtO, b0.2 125°; 2-PhCH2, 4-CO2Et, 5-EtO, b0.1 165°. The possibility of converting an alkoxyoxazole to the corresponding oxazolone was realized by the catalytic hydrogenation of 2 g. of 2-phenyl-5-benzyloxyoxazole in 30 mL. dry dioxane in the presence of Pd-black to 2-phenyl-5-oxazolone, m. 91°. The converse reaction, transformation of an oxazolone to an alkoxyoxazole, has also been achieved. Methylation of 3 g. of 2-phenyl-4-carbethoxy-5-oxazolone with 500 mg. CH2N2 in 50 mL. Et2O yielded 2-phenyl-4-carbethoxy-5-methoxyoxazole, m. 72°. Similarly, methylation of 2-phenyl-4-carbomethoxy-2-oxazolin-5-one gave 2-phenyl-4-carbomethoxy-5-methoxyoxazole, m. 98°, identical with that prepared by the dehydration of BzNHCH(CO2Me)2 with PCl5 in CCl4. Attempts to obtain 5-alkoxyoxazole-4-carboxaldehydes covered a wide range. Formylation of BzNHCH2CO2Et and condensation with PhCH2NH2 in Et2O gave Et β-benzylamino-α-benzamidoacrylate, R'NHCH:C(CO2Et)NHCOR (V; R = Ph, R' = PhCH2), m. 108°, cyclized by PBr3, POCl3 or PCl5 to 2-phenyl-4-benzylaminomethylene-5-oxazolone (VI), m. 134-7; Ac derivative, m. 140°. In the same way, Et β-benzylamino-α-phenylacetamido acrylate (VIa) with PBr3 gave 2-benzyl-4-benzylaminomethylene-5-oxazolone (VIb). Dehydration of Et α-benzamido-β,β-diethoxypropionate with PCl5-POCl3 yielded 2-phenyl-4-(ethoxymethylene)5-oxazolone (VII). Distillation of benzyl α-benzamido-β,β-diethoxypropionate gave a mixture of products including benzyl α-benzamido-β-ethoxyacrylate, m.

108-10°; benzyl 2-phenyloxazole-4-carboxylate, m. 106-7°; and VII. Attempts were made to cyclize α-benzyl-β-methyl-DL-phenylpenicilloate, HN.CH(CO2R').CMe2.S.CHCH(NHCOR)CO2CH2Ph (VIII, R = Ph, R' = Me) (VIIIa), m. 130°; dibenzyl-DL-phenylpenicilloate (VIII, R = Ph, R' = PhCH2) (VIIIb), m. 107-8°; and DL-2-(carboxy-1-hexenoylaminomethyl)-5,5-dimethyl-4-carbometh-oxythiazolidine benzyl ester (VIII, R = 1-pentenyl, R' = Me). (VIIIc). The action of PCl5 on VIII and VIIta gave definite evidence of formation of thiazolidinylalkoxyoxazoles and cyclization of VIIIb and chromatog. purification of the product gave benzyl 2-(2-phenyl-5-benzyloxy-4-oxazolyl)-5,5-dimethylthiazolidine-4-carboxylate, m. 120-5°, absorption band at 2850 A. This reduced in EtOAc using a Pd-BaSO4 catalyst with 2 mol H, corresponding to removal of 2 PhCH2 groups, yielded a product with no-antibiotic activity. The simpler thiazolidines were also investigated. The reaction of 3-methyl-2-(benzamidocarbethoxymethyl)-thiazolidine with PCl5 gave a Cl-containing product, converted by NaHCO3 to a probable sulfoxide. With PCl3, a product was obtained, which was converted by aqueous KOH to 2-phenyl-4-hydroxymethylene-5-oxazolone. β-Methylaminoethyl mercaptan-HI (from 15 g. of-2-methylthiazoline-MeI) in 20 mL. H2O was treated with 11 g. of crude Na salt of C,N-diformylglycine Et ester and neutralized with AcOH. After 15 h., NaHCO3 was added and the dried CHCl3 exts. (120 mL.) were concentrated to give 6.55 g. of crude product, converted by treatment with 65.5 mL. of 10% HCl in EtOH to 4.4 g. of 2-(aminocarbethoxymethyl)-3-methylthiazolidine-2HCl (IX), m. 169-70° (decomposition). IX (10.0 g.) in 36.1 mL. of 2N NaOH and 35 mL. EtOH was stirred with 6.6 g. PhCH2CS2Me for 45 h., yielding 6.2 g. of colorless prisms of 2-[(**phenylthioacetamido**)carbethoxymethyl]-3-methylthiazolidine (X), m. 100-100.5°. Addition of 5.0 g X in 20 mL. CHCl3 to8.6 g. PhSO3Ag and 2.5 mL. pyridine in 70-mL. CHCl3 gave no identifiable organic products. The action of PhSO3Ag on Me α-**phenylthioacetamido**-β,β-diethoxypropionate yielded a product from which Me-benzylpenaldate and 2-benzyloxazole-4-carboxylic acid were isolated. By the PCl5 method it has been possible to prepare 4-(2-thiazolyl)-2-benzyl-5-ethoxyoxazole and 2-(p-nitrophenyl)-4-(5,5-dimethyl-4-carbomethoxy-2-thiazolinyl)-5-ethoxyoxazole. Attempts to introduce a CHO group into the 4-position of 2-phenyl-5-ethoxyoxazole (XI) using PhNMeCHO and POCl3 gave 2-phenyl-4-anilinomethylene-5-oxazoline. With AcNHBr, XI gave 2-phenyl-4-bromo-5-ethoxyoxazole, b0.8 128°. The oxidation of 2-phenyl-4-methyl-5-ethoxyoxazole with SeO2, CrO3 or CrO2Cl2 resulted only in far-reaching breakdown. Condensation of PhCH2CH2COCO2H with AcNH2 or AmCONH2 gave α-acetamido- and α-caproyl-amino-γ-phenylisocrotonic acid (XII). Treatment of the Et ester of XII with PCl5 afforded 2-amyl-4-styryl-5-ethoxyoxazole (XIII), disrupted by ozonization with production of BzOH and H2NCOCO2Et. XIII (5.7 g.) in 100 mL. glacial AcOH was stirred with 9.0 g. of Pb(OAc)4 for 3 h., yielding 6.1 g. of 2-(1-acetoxyamyl)-4-styryl-5-ethoxyoxazole, m. 90-1°, degraded by distillation with loss of AcOH to 2-(1-pentenyl)-4-styryl-5-ethoxyoxazole (XIV), m. 100°, reduced catalytically to XIII. Oxidation of 2.83 g. XIV in 30 mL. tert-BuOH containing 0.75 g. H2O2 and 30 mg. OsO4 at 40-50° for 2 h. produced PrCHO and 5-ethoxy-4-styryloxazole-2-carboxaldehyde, m.130.5°, converted into the thiazolidine, m. 169°, using DL-penicillamine. Cyclization of AmCONHCH(CO2Et)2 in dry alc. free CHCl3 with PCl5, yielded 2-amyl-5-ethoxyoxazole-4-carboxylic acid (XIV), m. 63.4°, which on refluxing with PCl5 in CHCl3 gave Et 2-amyl-5-chlorooxazole-4-carboxylate (XV), b0.3 106°, catalytically reduced over Pd-BaSO4 in xylene to 2-amyloxazole-4-carboxylate, acidified to the free acid (XVa), m. 93-4°, converted by alc. EtONa to XIV. Treatment of 2 g. XVa with 1.09 g. PCl5 in 10 mL. CHCl3 and distillation produced the corresponding acid chloride, b0.3 96°, converted by (NH4)2CO3 in aqueous NH4OH to the amide, m. 90°, which, distilled with P2O5, gave 2-amyl-5-chloro-4-cyanooxazole (XVb), b0.15 72°. Reduction of 3.0 g. XVb in a **suspension** of 5.7 g. anhydrous SnCl2 in 40 mL. dry ether yielded unstable 2-amyl-5-chloro-oxazole-4-carboxaldehyde (XVI)

(dinitrophenylhydrazone, m. 109-10°), rearranging in 3 days at room temperature or on low pressure distillation to 2-amyloxazole-4-carboxylic acid chloride. Despite its instability, XVI readily combined with D-penicillamine-HCl to produce D-2-(2-amyl-5-chloro-4-oxazolyl)-5,5-dimethylthiazolidine-4-carbo-xylic acid-HCl, m. 150-2° (decomposition). A similar series of compds. starting with Et 2-phenyl-5-ethoxyoxazole-4-carboxylate (XVII) and proceeding to the thiazolidine was later prepared XVII was saponified to the crystalline acid (XVIIa), m. 148°, converted to the acid chloride (XVIIb), m. 105-6°, and to Et 2-phenyl-5-chlorooxazole-4-carboxylate, m. 68°, by refluxing in xylene for 1 h. The corresponding acid (XVIII), m. 178-4° (decomposition), was converted through the acid chloride, m. 118-20°, the amide, m. 183°, and the cyano compound, m. 112°, to 2-phenyl-5-chlorooxazole-4-carboxaldehyde (XIX), m. 91-3°. The addition of 1.14 g. aldehyde in 5 mL. EtOH and 10 mL. Et2O to 0.93 g. D-penicillamine-HCl in 5 mL. H2O and 0.65 g. AcONa, and passage of HCl through a filtered ethereal solution of the reaction product, yielded 1.5 g. of 2-(2-phenyl-5-chloro-4-oxazolyl)-5,5-di- methylthiazolidine-4-carboxylic acid-HCl, m. 178° (decomposition); Me ester-HCl, m. 120-2°; free acid, m. 166°; Me ester, m. 154°; PhCH2 ester, m. 116-7°. The thiazolidine exhibited a low order of antibiotic activity. A similar series of 2-benzyloxazole derivs. have been prepared but the corresponding thiazolidine was inactive: 2-benzyl-5-ethoxy-oxazole-4-carboxylic acid, m. 118° (decomposition); Et ester, b0.1 165°; acid chloride, m. 81-2°; 2-benzyl-5-chlorooxazole-4-carboxylic acid, m. 183° (decomposition); Et ester, b0.02 170-5°; acid chloride, m. 156-7°; cyano compound, m. 49-50°; aldehyde [dinitrophenylhydrazone, m. 173°; semicarbazone, m. 185° (decomposition)]; 2-(2-benzyl-5-chloro-4-oxazolyl)-5,5-dimethylthiazolidine-4-carbo-xylic acid-HCl, m. 176-7° (decomposition). By refluxing 223 mg. XVIII in 3 mL. EtOH with 40 mg. Na, the Cl was replaced by the EtO group with formation of the corresponding acid, XVIIa. Distillation of the aldehyde XIX at 0.1 mm. gave 2-phenyloxazole-4-carboxylic acid chloride, m. 107-8°, transformed by stirring with cold concentrated aqueous NH4OH to the amide. Similarly the acid chloride XVIIb was converted to the amide, m. 118-19°, rearranged by heating for a few rain. at 140° to Et 2-phenyl-5-aminooxazole-4-carboxylate, m. 183deg;. All oxazoles found to undergo rearrangement may be formulated as 5-substituted oxazoles having a CO group in the 4-position, the general case being N:CR'.O.CR3:CCOR2 → N:CR'.O.CR2:CCOR3. Known examples of rearrangement are tabulated. Since the mol. is unstable when R3 and R2 are Et and Cl, resp., or when R3 and R2 are Cl and H, resp., it is deduced that the ethoxy aldehydes should show too great stability for successful synthesis. Cyclization of AmCONHCHCNCO2Et with P2O5 in CHCl3 gave 2-amyl-4-cyano-5-ethoxyoxazole, b0.03 98°, not reduced to the aldehyde by SnCl2 in Et2O. No 4-acetyloxazole was obtained from the MeMgI reaction product but the isolation of Et α-caproylaminoacetoacetate (dinitrophenylhydrazone, m. 166-7°) indicated oxazole ring cleavage. The dehydration of 2-phenyl-5-ethoxyoxazole-4-carboxyamide with POCl3 or the ethylation with MeCHN2 of the crude oxazolone obtained by treating BzNHCHCNCO2H with Ac2O produced 2-phenyl-4-cyano-5-ethoxyoxazole, m. 77°. The previously unknown 5-aminooxazoles were prepared thus: treatment of 7 g. BzNHCH(CN)CO2Et, m. 138°, in 125 mL. CHCl3 with 6.2 g. PCl5 gave 4.5 g. Et 2-phenyl-5-aminooxazole-4-carboxylate, m. 185°, also prepared by the action of POCl3 on Bz-NHCH(CONH2)CO2Et. Condensation of 1.18 g. H2NCH-(CO2Et)2 with 1.13 g. PhNHOEt by heating for 30 min. at 110° gave the alternative compound, formulated as 2-phenyl-4-carbethoxy-5-imidazolone, m. 275°. Similarly were prepared Et 2-benzyl-5-aminooxazole-4-carboxylate (XX), m. 124° and the corresponding 2-benzyl-4-carbethoxy-5-imidazolone, m. 254° (decomposition); 2-(1-pentenyl)-4-carbethoxy-5-aminooxazole, m. 105°; 2-amyl-4-carbethoxy-5-aminooxazole (XXa), m. 104° and the

corresponding 2-amyl-4-carbethoxy-5-imidazolone., m. 230° (decomposition). On heating at 170° for 5 min., XXa was entirely converted into AmCONHCH(CN.)CO2Et, m. 83°. Heating either XX or PhCH2CONHCH(CN)CO2Et at 160-70° for 15 min. produced an equilibrium mixture with the open chain ester predominating. This same mixture was formed by heating 2-benzyl-5-ethoxyoxazole-5-carboxylic amide, probably through initial rearrangement to the aminooxazole. Stirring 35 g. NCCH2CO2CH2Ph in 40 mL. of chilled glacial AcOH with saturated aqueous NaNO2 (16.5 g.) yielded 29 g. NCC(NOH)CO2CH2Ph, m. 119°, reduced with Al-Hg to NCC(NH2)CO2CH2Ph, m. 95°, and benzoylated to NCCH(NHBz)CO2CH2Ph, m. 130°, converted by heating at 160° for 5 min. to 2-phenyl-4-carbobenzyloxy-5-aminooxazole, m. 203°. The 4-carbethoxy-5-aminooxazoles are feebly basic substances whose HCl salts dissociate readily. XXa.HCl, on boiling with ethereal EtOH gave AmCONHCH(CONH2)CO2Et, m. 150-1°, along with NH4Cl. Treatment of 1 g. XXa in 10 mL. dry Et2O at -15° with NOCl gave a low yield of Et 2-amyloxazole-4-carboxylate, m. 92-3°. Formylation of 15 g. BzNHCH2CN in 200 mL. HCO2Et and 100 mL. benzene by addition of NaOEt (from 2.16 g. Na) in 100 mL. benzene produced, after treatment of the intermediate BzNHC(:CHONa)CO2H with dilute H2SO4 to pH 4, 2-phenyl-5-aminooxazole-4-carboxaldehyde (XXI), m. 172-3°, probably in the tautomeric form. Formylation of AmCONHCH2CN and distillation of the product yielded 2-amyloxazole-4-carboxylic acid amide, m. 154-5°, evidently by rearrangement of XXI. The action of POCl3 on Bz-NHCH(CONH2)2 and AmCONHCH(CONH2)2, m. 231°, gave 2-phenyl-5-amino-4-cyanooxazole, m. 233° (Ac derivative, m. 202-3°), and 2-amyl-5-amino-4-cyanooxazole, m. 117°. These aminooxazoles could not be reduced to aldehydes.

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Satn. of 0.52 g. PhCH2CSNHCH(CN)CO2Et, m. 157°, treated in 5 mL. dry

EtOH with dry HCl at -10° and the soln. evapd. after 12 h. at 20° in vacuo yielded 0.5 g. 2-benzyl-4-carbethoxy-5-aminothiazole, m. 180°. OXAZOLONE SECTION. Part. I. General Chem. of Oxazolones. Prepn. of 2-Oxazolin-5-ones. The reaction of Ac2O with α-acylamino acids is the most general procedure by which new oxazolones, O.CR:N.CR1R2.CO, have been prepd. (substituents given): 2-Me, 4-iso-Pr, b10 60°; 2-PhCH2, 4-Me, b0.5-1.0 122-3°; 2-PhCH2, 4-iso-Pr, b0.5 115-17°; 2,4-(PhCH2)2, oil; 2-Am, 4-PhCH2, b5 135-8°; 2-(2-pentenyl), 4-PhCH2, b1.0 155-7°; 2-PhCH2, 4,4-Me2 (I), m. 59.5°; 2-Ph, 4-iso-Bu, m. 56-7°; 2-PhCH2, 4-sec-Bu, b2.0 137-9°; 2-Ph, 4,4-C5H10, m. 71°; 2-PhCH2, 4-Me, 4-PhCH:CH, m. 56-7°; 2-Ph, 4-CO2Et, m. 147-8°; 2-Am, 4-CO2Et, oil; 2-Ph, 4-(p-MeOC6H4CH2); 2-PhCH2, 4-(p-MeOC6H4CH2); and 2-PhCH2, 4-iso-Bu. Similarly, heating 100 g. BzNHCH2CO2H (II) in 300 mL. Ac2O at 100° yielded 49 g. 2-phenyl-2-oxazolin-5-one (III), m. 94-5°, the only monosubstituted oxazolone prepd. by this method. By warming BzNHCHPhCH2CO2H in CHCl3 with 1 equiv. of 2-benzyl-4-methyl-5-oxazolone, a good yield of 2-phenyl-4-benzyl-5-oxazolone, m. 68-9°, was obtained. Addn. of 1 g. NaNO2 in 20 mL. H2O to 3 g. of BzNHC(CONHNH2):-CHPh in 30 mL. N HCl gave α-benzamidocinnamic azide, m. 113-4° (decompn.), converted on boiling with EtOH or treatment with pyridine at room temp. to 2-phenyl-4-benzylidene-5-oxazolone (IV). Similarly, Me2C:C(NHBz)-CON3 was converted to 2-phenyl-4-isopropylidene-5-oxazolone (IVa). These type II (unsatd. substituent at the 4-position) unsatd. oxazolines are formed more readily than the above-listed type I (satd. substituent at the 4-position) satd. oxazolones to which the azide conversion could not be extended. Redn. of IV over Pd-C gave 2-phenyl-4-benzyl-5-oxazolone (V), m. 67-8°. IVa was similarly reduced in dioxane to give an oil which, treated with PhNH2 in benzene, produced Me2CHCH(NHBz)CONHPh, m. 211-2°. The possibility arose that any reagent capable of transforming an acid to its chloride might be expected to convert an α-acylamino acid to the corresponding oxazolone. Thus treatment of II in 15 mL. dioxane with 2 mL. PBr3 gave III. Similarly, 14.5 g. PhCH2CONHCMe2CO2H in 150 mL. dioxane was treated with 18 g. PBr3. The solid product suspended in dioxane and treated with slight excess of CH2N2 in ether yielded I, converted by PhCH2NH2 into PhCH2CONHCMe2CONH2, m. 122-3°. Treatment of PhCH2CHNHBzCO2H in pyridine with PBr3 likewise gave the known V. Attempts to prep. 2-benzyl-5-oxazolone from PhCH2CONHCH2CO2H gave an unstable oil, converted by PhCH2NH2 into PhCH2CONHCH2CONHCH2Ph. Conversion of PhCH:C(NHBz)CO2H into IV was effected by POCl3, SOCl2, pyridine, by ClCH2COCl and K2CO3, and by AcCl in dioxane. Oxazolones have been produced by treating PhCH2OCOCl with acylamino acids. Apart from direct dehydration, three methods are known for the prepn. of type II oxazolones; the Erlenmeyer aldehydeacylglycine synthesis, the Bergmann-Stein reaction of N-(α-haloacyl)amino acids with Ac2O, and the dehydration of β-hydroxy-α-acylamino acids. In that III reacts with Me2CO in the presence of NaOAc to yield IVa in the absence of Ac2O, it is suggested that III is an intermediate in the Erlenmeyer synthesis. In the presence of a little pyridine, BzH condenses with III to produce IV. Similarly, 2-phenyl-4-propylidene-5-oxazolone, m. 88-9°, was obtained in good yield from III and EtCHO. By adding Ac2O dropwise with stirring to 17.9 g. II and 6.1 g. fused NaOAc in 580 mL. Me2CO, refluxing for 3-4 h. at 59-62°, pouring the reaction mixt. over 200 g. ice and dilg. to 1500 mL. produced high yields (73%) of relatively pure 2-phenyl-4-isopropylidene-5-oxazolone, m. 98°. Condensation of II with (EtO)2CHCHO and Ac2O gave 4,4'-glyoxalidenebis(2-phenyl-5-oxazolone), m. 325° (decompn.). Though no acyl interchange in the Erlenmeyer synthesis occurs with II, the formation of 2-methyl-4-benzylidene-5-oxazolone occurs when either PhCH2CONHCH2CO2H or AmCONHCH2CO2H (VI) is refluxed with BzH in the presence of Ac2O and NaOAc. Refluxing VI (15.1 g.) with 13.1 g. AmCO2Na and 61 g. (AmCO)2O in 49 mL. Me2CO for 24 h. at 75° gave α-caproyl-amino-β,β-dimethylacrylic acid,

m. 162-3°, converted by melting and heating in vacuo at 180-90° into 2-amyl-4-isopropylidene-5-oxazolone, b0.03 60-2°. By Bergmann's method, 2-methyl-4-isopropylidene-5-oxazolone (VII) and 2-methyl-4-sec-butylidene-5-oxazolone were prepd. from Me2CHCH2CH(NHCOCH2Cl)CO2H and EtMeCHCH-(NHCOCH2Cl)CO2H. Carter's method was used to prep. VII by the action of Ac2O on Me2C(OMe)CHNH2CO2H. Ring opening Reactions of Oxazolones. The general reaction of oxazolones with H2O, ROH, RSH, NH3, RNH2 and RR'NH represented by O.CR:N.CR1R2.CO + HX → OCRHNCR1R2COX, suggested originally the thiazolidine-oxazolone formulation of penicillin. Comparison of the reactivity of V with that of IV showed the former to be rapidly hydrolyzed by 2N aq. acid or alkali under conditions not affecting the latter. V reacts with ROH more rapidly than III. In the presence of NaOMe or PhCH2NMe3-OH, IVa was converted quant. to Me2C:C(BzNH)CO2Me, m. 130-1°. The methanolysis of 2-benzyl-4-p-methoxybenzyl-5-oxazolone in dry abs. MeOH yielded (N-phenylacetyl-p-methoxyphenylalanyl)-p-methoxyphenylalanine, m. 199-200°. The formation of the dipeptide may be due to an "ortho-ester" reaction with the iminoether form of the oxazolone. Reaction of PhCH2SH with III and I yielded benzyl hippurate, m. 101-2° and Me2CHCH(NHCOCH2Ph)COSCH2Ph, m. 138.5°. Almost all types of oxazolones react with PhCH2NH2 to form α-acylaminoacyl-benzylamides. The reaction of V with d-MePhCHNH2 in dry dioxane was followed polarimetrically and at const. rotation, produced N-benzoylphenylalanine-d-N-α-phenylethylamide, m. 178-80°, [α]D23 28.5° (c 1, dioxane). The strongly enolyzed 2-phenyl-4-carbethoxy-5-oxazolone formed a salt with PhCH2NH2, converted on heating in xylene to the benzylamide, m. 132°. The reaction of PhNH2.HCl with III and 2-benzyl-4-sec-butyl-5-oxazolone gave the normal anilide and the corresponding acid. Reaction of V and 2-phenyl-4-isobutyl-5-oxazolone with L-HSCH2CH-(NH2)CO2Me produced the normal amides, m. 128-9°, and 131-5°, resp., the NH2 group taking precedence over the SH group in the condensation. The action of N2H4 on oxazolones has been clarified. The addn. of 18 g.-phenyl-4-methyl-5-oxazolone to excess 60% N2H4.H2O in EtOH and heating to 50-60° for 30 min. gave 17.5 g. benzoylalanine hydrazide, m. 142-4°; benzylidene deriv., m. 193-4°. Treatment of IV with N2H4.H2O also gave the normal hydrazide, PhCH:C(NHBz)CONHNH2, m. 113-14°, converted by heating the corresponding azide in xylene to 2-oxo-4-benzylidene-6-phenyl-1,3,5-oxadiazine, m. 174° (decompn.). Conversion of Me2C:C(NHBz)CON3 similarly produced 2-oxo-4-isopropylidene-6-phenyl-1,3,5-oxadiazine, m. 166-8°. A mixt. of 5 g. IV, 10 mL. N2H4.H2O and 3 mL. EtOH was refluxed for 30 min. yielding 4-benzamido-3-phenyl-5-pyrazolidone, m. 228-9°, identical with the product formed by refluxing PhCH:C(NHBz)CONHNH2 (VIII), m. 157-8°, which N2H4.H2O for 30 min. Similarly, the hydrazide Me2C:C(NHBz)CONHNH2, m. 192-4°, was converted into 3,3-dimethyl-4-benzoylamino-5-pyrazolidine, m. 106-8°. The hydrazide VIII was boiled in N NaOH and the sparingly sol. salt on acidification gave 6-hydroxy-5-benzyl-3-phenyl-1,2,4-triazine, m. 175-6°; Ac deriv., 187-8°. Oxidn. of XIII with K3Fe(CN)6 produced N,N'-bis(α-benzoylaminocinnamoyl)hydrazine, m. 265°, together with a substance, m. 186-7°, with the probable structure PhCH:C.CH(OH).NBz.C-(:CHPh).CH(OH).NBz, forming PhCH2CH(NHBz)-(CO2H) on alk. hydrolysis. REACTIONS OF TYPE II OXAZOLONES: Some reactions involving the double bond in type II oxazolones have been discovered. Treatment of IV in dry dioxane with 2 mol CH2N2 in dry Et2O at 0° and allowing the soln. to stand overnight at room temp. gave product, C17H13O2N, m. 142-3°. Addn. of liq. NH3 to IVa with shaking and cooling in solid CO2 gave a small yield of basic product, C12H17O2N3, m. 162-6°, probably by addn. of 2 mol NH3. Addn. of H2S and RSH to the double bond has been studied in connection with various syntheses of penicillamine. The addn., of 136 g. IVa in 675 mL. dry benzene to 3.38 g. Na in 675 mL. of chilled dry MeOH and 76.5 mL. PhCH2SH produced

Me2CC(NHBz)CO2Me, m. 137-8°, and Me2C(SCH2Ph)CH(NHBz)CO2Me, m. 66-7°. The addn. probably takes place after ring opening, since the oxazolone can be replaced by an acrylic ester. Similarly, IV under like conditions, gave PhCH(SCH2Ph)CH-(NHBz)CO2Me, m. 164°. There is no evidence of direct addn. of PhCH2SH to the double bond. Addn. of H2S to IVa and VII in the presence of Et3N yielded Me2C(SH)CH(NHBz)COSH and Me2C(SH)CH(NHAc)COSH, resp. The initial step is probably the addn. of H2S to the double bond. Anhyd. MeOH satd. with H2S at 0° treated with IVa gave 2,5,5-trimethyl-2-thiazoline-4-carboxylic acid, b25 120°; picrate, m. 159°, probably formed by addn., followed by displacement. IV similarly yielded 2-phenyl-5,5-dimethyl-2-thiazoline-4-carboxylic acid, m. 124-6°. IVa was apparently converted by treatment with alc. NaSH to 2-phenyl-4-isopropylidene-5-thiazolone, m. 100.5-101.5°. Ring opening Reactions of Oxazolones. The general reaction of oxazolones with H2O, ROH, RSH, NH3, RNH2 and RR'NH represented by O.CR:N.CR1R2.CO + HX → OCRHNCR1R2COX, suggested originally the thiazolidine-oxazolone formulation of penicillin. Comparison of the reactivity of V with that of IV showed the former to be rapidly hydrolyzed by 2N aq. acid or alkali under conditions not affecting the latter. V reacts with ROH more rapidly than III. In the presence of NaOMe or PhCH2NMe3-OH, IVa was converted quant. to Me2C:C(BzNH)CO2Me, m. 130-1°. The methanolysis of 2-benzyl-4-p-methoxybenzyl-5-oxazolone in dry abs. MeOH yielded (N-phenylacetyl-p-methoxyphenylalanyl)-p-methoxyphenylalanine, m. 199-200°. The formation of the dipeptide may be due to an "ortho-ester" reaction with the iminoether form of the oxazolone. Reaction of PhCH2SH with III and I yielded benzyl hippurate, m. 101-2° and Me2CHCH(NHCOCH2Ph)COSCH2Ph, m. 138.5°. Almost all types of oxazolones react with PhCH2NH2 to form α-acylaminoacyl-benzylamides. The reaction of V with d-MePhCHNH2 in dry dioxane was followed polarimetrically and at const. rotation, produced N-benzoylphenylalanine-d-N-α-phenylethylamide, m. 178-80°, [α]D23 28.5° (c 1, dioxane). The strongly enolyzed 2-phenyl-4-carbethoxy-5-oxazolone formed a salt with PhCH2NH2, converted on heating in xylene to the benzylamide, m. 132°. The reaction of PhNH2.HCl with III and 2-benzyl-4-sec-butyl-5-oxazolone gave the normal anilide and the corresponding acid. Reaction of V and 2-phenyl-4-isobutyl-5-oxazolone with L-HSCH2CH-(NH2)CO2Me produced the normal amides, m. 128-9°, and 131-5°, resp., the NH2 group taking precedence over the SH group in the condensation. The action of N2H4 on oxazolones has been clarified. The addn. of 18 g.-phenyl-4-methyl-5-oxazolone to excess 60% N2H4.H2O in EtOH and heating to 50-60° for 30 min. gave 17.5 g. benzoylalanine hydrazide, m. 142-4°; benzylidene deriv., m. 193-4°. Treatment of IV with N2H4.H2O also gave the normal hydrazide, PhCH:C(NHBz)CONHNH2, m. 113-14°, converted by heating the corresponding azide in xylene to 2-oxo-4-benzylidene-6-phenyl-1,3,5-oxadiazine, m. 174° (decompn.). Conversion of Me2C:C(NHBz)CON3 similarly produced 2-oxo-4-isopropylidene-6-phenyl-1,3,5-oxadiazine, m. 166-8°. A mixt. of 5 g. IV, 10 mL. N2H4.H2O and 3 mL. EtOH was refluxed for 30 min. yielding 4-benzamido-3-phenyl-5-pyrazolidone, m. 228-9°, identical with the product formed by refluxing PhCH:C(NHBz)CONHNH2 (VIII), m. 157-8°, which N2H4.H2O for 30 min. Similarly, the hydrazide Me2C:C(NHBz)CONHNH2, m. 192-4°, was converted into 3,3-dimethyl-4-benzoylamino-5-pyrazolidine, m. 106-8°. The hydrazide VIII was boiled in N NaOH and the sparingly sol. salt on acidification gave 6-hydroxy-5-benzyl-3-phenyl-1,2,4-triazine, m. 175-6°; Ac deriv., 187-8°. Oxidn. of XIII with K3Fe(CN)6 produced N,N'-bis(α-benzoylaminocinnamoyl)hydrazine, m. 265°, together with a substance, m. 186-7°, with the probable structure PhCH:C.CH(OH).NBz.C-(:CHPh).CH(OH).NBz, forming PhCH2CH(NHBz)-(CO2H) on alk. hydrolysis. REACTIONS OF TYPE II OXAZOLONES: Some reactions involving the double bond in type II oxazolones have been discovered. Treatment of IV in dry dioxane with 2 mol CH2N2 in dry Et2O at 0°

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GI For diagram(s), see printed CA Issue.
AB cf. C. A. 18, 230 and preceding abstrs. A report on the development of methods for determining the constitution of the **thiochromanones** obtained from RSCH2CH2CO2H (R = aryl) and concentrated H2SO4. β-[Arylmercapto]propionic acids: p-Chlorophenyl (58 g. from 45 g. p-ClC6H4SH), m. 90-1°; p-methoxyphenyl, m. 81-2°; 1-tetralyl, m. 95°. β-[Arylmercapto]butyric acids: Ph, thick oil, b10 185° (yield, about 60%); p-tolyl, b10 193°, m. 44-5° (yield, about 75%). **Thiochromanones**: 6-Cl, m. 67-9° (yield, quant.); 6-MeO, obtained in 40% yield from MeOC6H4SCH2CH2CO2H with H2SO4 and in 65%, yield by distillation of the acid in vacuo over P2O5 (POCl3 gave the **thiochromone**), b12 185-6°, m. 29-30° (semicarbazone, m. 212° (decomposition) on slow heating, 221° when plunged into a bath at 205° and then rapidly heated); 7,8-tetrahydrobenzo, m. 60-1°, soluble in H2SO4 with pure red color, (semicarbazone, m. 232°); tetrahydrobenzo, from β-[tetralyl-2-mercapto]propionic acid, m. 60-1° (semicarbazone, m. 255°; the semicarbazone m. 224° described in the earlier paper proved to be a mixture of the above, m. 255°, and an isomer, m. 238-40°, which when decomposed gave an almost colorless, very viscous oil, b14 223°); 2-Me (yield, about 60%), viscous oil, b13 152°, m. 18-9° (semicarbazone, m. 167-8°); 2,6-Me2 (yield, about 65%), b20 179°, m. 64-5° (semicarbazone, m. 205-6°). 6-**Methylthiochromanone** perbromide, MeC5H3.CO.CH2.CH2.SBr2, from 6-**methylthiochromanone** (I) and 1 mol. Br2 in cold CS2, CHCl3 or AcOH, dark red crystals similar to red P, quickly loses HBr in the air or in a desiccator with formation of the 3-Br derivative (II) of I, regenerates I with boiling PhNEt2, gives with cold NaOEt an orange product soluble in alc. aqueous NaOH with KMnO4-like color, the solution dyeing cotton a violet-red which

changes to yellow on moistening with AcOH. Sulfoxide of I, from the perbromide shaken with H2O, m. 110° (yield, 3 g. from 5 g. I), also obtained from I and H2O3-AcOH, gives II when evaporated with HBr, regenerates I with Zn-H2SO4 and gives with cold NaOEt 2 compds. m. 195° and 243°, resp. 2,6-**Dimethylthiochromanone** sulfoxide (from the scarlet perbromide with H2O), m. 97-8°; yield, 60%. The following 3-**bromothiochromanones**, obtained with the calculated amount of Br in CS2, are faintly yellow, produce violent burning on the **skin** and dissolve in H2SO4 with violet-red color: unsubstituted, m. 76-7°; 6-Me (II), m. 60-1°; 2,6-Me2, m. 101-2°; 6-Cl, m. 111-2°; 6-MeO, semi-solid. 3,3-**Dibromothiochromanones**, prepared with the calculated amount of Br in AcOH, are pale yellow; 6-Me, m. 156° (decomposition); 2,6-Me2, m. 111-2°; 5,6-benzo, m. 115-6°. Boiling PhNMe2 converts the above 3-Br derivs. into the **thiochromones** (and their 3-Br derivs.), soluble in H2SO4 with strong blue or green fluorescence: 6-Me (III), b12 194°, m. 69-70° (3-Br derivative, m. 117°, soluble in H2SO4 with pale yellow color); 6-Cl, b12 205-10°, m. 143-4°; 6-MeO (also obtained from MeOC6H4SCH2CH2CO2H boiled with POCl3), m. 110-1°; 2,6-Me2, m. 120-1° (3-Br derivative, obtained in 78% yield, m. 134-5°); 3-bromo-5,6-benzo (2 g. from 4 g. of the 2,3-Br2 compound), m. 168-9°. When boiled in alc. with concentrated aqueous NH4OH, II loses HBr and forms III, but with NH3 in absolute alc., best in the cold, it gives 80% of 3-amino-6-**methylthiochromanone**, greenish yellow, m. 67-8° with loss of NH3, insol. in alkalies, soluble in H2SO4 at first with a yellow color which is replaced by a strong blue fluorescence, gives with hot HCl III. HCl, always forms III, with loss of NH3, in acetylation expts. (even in C5H5N). II refluxed in aqueous alc. NaOH gives 70-5% 4,2 MeAcC3H1SH, b12 144-6°, whose alkali-soluble semicarbazone, m. 199-200° and corresponding disulfide, C18H18O2S2, m. 173-4°. The decomposition of the II proceeds quite smoothly and the reaction affords a convenient means of preparing o-**acetothiophenols**, hitherto only difficultly available. No 2-mercapto-5-methylbenzoic acid could ever be detected among the products of the reaction of NaOH on II but it is obtained in 54% yield from 2,6-dimethyl-3-**bromothiochromanone**; it m. 155-7° and gives with FeCl3 a transient blue color; Me ether, m. 140-1°; disulfide, from the HS acid and K3Fe(CN)6, m. 291°. With NaOEt at room temperature, 2 g. III gives 1 g. 5-methyl-3-**hydroxythionaphthene**-2-aldehyde (IV), faintly yellowish green, m. 126-7°, soluble in alkalies with yellow color, K2Fe(CN)6 precipitating the corresponding **thioindigo** from concentrated solns.; alc. solns. are turned olive-green by FeCl3; boiling acids partially split off the aldehyde group with formation of 3-hydroxy-5-**methylthionaphthene**-2-aldehyde-5'-**methylthioindogen**. Phenylhydrazone of IV, golden yellow, m. 143°, easily soluble in alkalies. IV is also obtained by NaOEt cleavage of 2-indole-2'-**thionaphthene**-indigo. 4,5-Benzo-3-**hydroxythionaphthene**-2-aldehyde (0.7 g. from 1.5 g. 3-bromo-5,6-**benzothiochromone** and NaOEt, or 3 g. from 5 g. 4,5-benzo-3-**hydroxythionaphthene** (V) in dry CHCl3 with HCN and HCl at room temperature and subsequent hydrolysis with boiling NaOH), yellow, m. 147°, forms with hot acids a red condensation product soluble in alc. alkalies with blue-green color; in aqueous alkalies with K3Fe(CN)6 it gives the red-brown bis-2,1-**naphthothiophene**-indigo. The V is obtained in 0.5 g. yield from 5 g. 2-C10H7SMe with ClCH2COCl and AlCl3 II (5 g.) refluxed 1 hr. in 50 cc. alc. with 10 g. crystallized NaOAc, gave 80% III, while 45 min. refluxing in 10 parts AcOH with 8 g. anhydrous NaOAc yielded 17.5% 6,6'-dimethyl-3,3'-**dithiochromanolene**, (CH2.S.C6H3Me.CO.C=)2, (VI), m. 151-2°, mol. weight in C6H4 349-80; attempts to prepare it by condensation of I with 6-**methylthiochromonol** p-dimethylaminoanil in the presence of Ac2O gave 91% of the Ac derivative of the tautomeric form of the anil, viz. 3-[N-dimethylaminophenyl-N-acetylamino]-6-**methylthiochromone** (VII), almost colorless, m. 193°, mol. weight in CHCl3 370-405, does

not react with H2NCONHNH2, hydrolyzed by boiling 50% H2SO4 to 6-**methylthiochromonol** and p-H2NC6H4NMe2 whose picrate, yellow, m. 139°; N-propionylamino homolog of VII, obtained in 93% yield with (EtCO)2O as the condensing agent, almost colorless, m. 157-8°. 3,3'-**Dithiochromanolene**, from 3-**bromothiochromanone** and Ac2O-AcOH (yield, 7%), faintly yellowish, m. 170-1°. 6,6-(MeO)2 derivative (yield, 23.5%), yellow, m. 168-9°. 3-Bromo-6-**chlorothiochromanone** gave no dimol. compound, but only 6-**chlorothiochromone**; likewise, 3-bromo-6-methylchromanone yielded only 6-methylchromone, and β-bromo-α-tetralone, m. 40-1° (described by Strauss, C. A. 15, 1896, as an oil), yielded α-tetralone and α- and β-naphthol. Dibromide of VI (0.8 g. from 0.6 g. VI in AcOH with the calculated amount of Br), yellow, darkens about 130°, m. 290-5° (carbonization), reddens on standing and, with loss of HBr, on boiling a short time with AcOH or high boiling solvents (PhNO2). These dibromides boiled a short time with C3H5N or quinoline yield the corresponding 3,3'-**dithiochromones** (also obtained, although less pure, from the **dithiochromanolenes** with concentrated H2SO4): unsubstituted, brown-red; 6,6'-Me2, brown-red needles, traces of which impart a blue-red fluorescence to CS2 or CHCl3 and which dissolve in H2SO4 with yellow color changed to green by absorption of H2O, while the NaOH **suspension** forms with Na2S2O4 a yellow vat dyeing cotton in faint blue-red shades; 6,6'-(MeO)2, blue-red. 3-Benzylidene-6-**methylthiochromanone** (VII), best obtained from I and 1.5 mols. BzH treated hot with a few drops of concentrated AcOH-HBr, gives in CS2 with 1 mol. Br2 a-dibromide, light yellow, m. 167° (loss of HBr), regenerates VII when boiled with PhNMe2; the monobromide (phenyl-[6-**methylthiochromonyl**-3]-bromomethane), which is obtained when the dibromide is heated at its m. p. and the resulting resin is crystallized from Et2O (3.7 g. from 9 g. of the dibromide), m. 115-6°. If the resin is recrystd. from MeOH there is obtained phenyl-[6-methylchromonyl-3]carbinyl Me ether, m. 118-9°; Et ether, m. 124-5°; acetate, from the bromide with boiling AcOH-NaOAc, m. 120-1°. The bromide with C5H6N in C5H6 forms a pyridinium salt, C22H18ONBrS, m. 137-8°, and with PhSH a **thiophenol** ether, m. 129-30°. The above Et ether, cautiously added to concentrated H2SO4, produces a blue-red solution which becomes colorless on standing and H2O ppts. a substance, possibly a di-ether of the carbinol, insol. in all the usual solvents except CHCl3, does not m. 290°. The **thiochromanones** are reduced by the Clemmensen method to the **thiochromans** which with the calculated amount of KMnO4 give the corresponding sulfones: **Thiochroman**, b10 124-5° (sulfone, m. 87-8°); 6-Me derivative, b12 137° (sulfone, m. 81°); 6,8-Me2 derivative, b12 146-7° (sulfone, m. 101.2°). The **thiochromanols** were prepared from the **thiochromanones** with orgauo-Mg compds. and converted into the α-chromenes by vacuum distillation over P1O5; both dissolve in H2SO4 with deep blue color; the latter are oils of characteristic odor which resinify on standing, especially in the air. **Thio**-4-chromanols (% yields in parentheses): 4-Me, m. 109-10° (65); 4,6-Me2, m. 119-20° (80); 4,6,8-Me2, m. 46-9°; 4-ethyl-6-methyl, m. 52-3°, b12 159-60°; 4-phenyl-6-methyl, m. 112-3°. α- **Thiochromenes**: 4-Me, b12 138° (85%); 4,6-Me3, b12 145-6° (80); 4,6,8-Me2, b12 155-7°; 4-ethyl-6-methyl, b12 158-60°; 4-phenyl-6-methyl, b12 211°, m. 47-8°.

L27 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1918:1627 HCAPLUS
DOCUMENT NUMBER: 12:1627
ORIGINAL REFERENCE NO.: 12:276c-i,277a
TITLE: Substituted rhodanines and some of their aldehyde condensation products. XIII
AUTHOR(S): Andreasch, Rudolf

SOURCE: Journal of the Chemical Society, Abstracts (1917), 112(I), 663
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. C. A. 5, 1756; Stieger, C. A. II, 1137. The condensation of rhodanines and related ring systems with aldehydes is illustrated still further by this work. 3-Phenyl-rhodanine and p-H2NC6H4CHO condense in warm AcOH to form 3-phenyl-5-p-amino-benzylidenerhodanine, CO.NPh.CS.S.C:CHC6H4NH2, which crysts. in K2Cr2O7-colored, filamentous needles, m. 227-8°, and dyes **skin**, wool or silk, yellow. **Phenylthiocarbimide**-glycollide and p-H2NC6H4CHO form γ-phenyl-β-p-aminobenzylidenelhiocarbimide glycollide(2,4-diketo-3-phenyl-5-p-aminobezylidenethiazolidine),CO.NPh.CO.S.CCHC6H4NH2, yellow, crystalline powder, m. 246°. The corresponding benzylidene compound forms needles, m. 239°, and the o-hydroxybenzylidene compound crysts. in pale yellow, woolly masses, m. 140°. **Phenylthiohydantoin** yields γ-phenyl-β-**benzylideneisothiohydantoin** (2-imino-4-kelo-3-phenyl-5-benzyIidenethiazolidine), m. 255-6°, which has the appearance of PbI2. The corresponding salicylidene compound, m. 244°. Protocatechualdehyde and 3-phenylrhodanine form 3-phenyl-s-m.p-dihydroxybentzylidene-rhodanine, bright yellow needles, m. above 260°. This behaves like an indicator, for aqueous **suspensions** give very deep violet solns. with alkali hydroxides, which become yellow again on neutralization. The compound is in many other respects like alizarin. It is a vat dye, but does not give nice shades. The corresponding 5-o,p-dihydroxybenzylidene compound is an orange-yellow crystalline powder, m. about 350°, which gives carmine-red solns. with traces of alkali hydroxides. 3-Tolyl-5-o,p-dihydroxybenenzylidenerhodonin e is a dirty orange-yellow powder, m. about 200°, and the corresponding 3-β-naphthyl compound, m. about 190-200°. 3-Phenylrhodanine and isophthalaldehyde condense, to form 5-isophthalylidene-bis-3-phenylrhodanine, C6H4(CH:C.S.CS.NPh.CO)2, which crysts. from BzOEt in chrome-yellow crysts., m. above 360°. The simple rhodanine gives the corresponding phthalylidene-bis-rhodonine, m. 260-5° (decomposition). 3-Phenyl-5-m-carboxybenzylidenerhodanine is a Cd-yellow ponder, m. 347-8° or higher. Phenyl-rhodanine and the related ring systems also condense with, isatin. 3-Phenyl-5-ψ-indoxylidenerhodanine, CO.NPh.CS.S.C:C.CO.C6H4.NH, crysts. as a purple-red, shimmering scale, m. 260°. "Isolhiohydantoin-2-indolindigo" (2-imino-4-keto-5-ψ-indoxylidenthiazolidine), CO.NH.C(:NH).S.C:C.CO.C6H4.NH, is a brownish red powder, m. above 360°. 2,4-Diketo-5-ψ-indoxylidenethiazolidine is an orange-yellow powder, m. above 370°. "5-ψ-Indoxylrhodanine" is identical with Felix and Fried-lander's "5-**thiazolthiol**-2-indoleindigo" (C. A. 4, 3196).

L27 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1918:1626 HCAPLUS
DOCUMENT NUMBER: 12:1626
ORIGINAL REFERENCE NO.: 12:276c-i,277a
TITLE: Substituted rhodanines and some of their aldehyde condensation products. XIII
AUTHOR(S): Andreasch, Rudolf
SOURCE: Monatshefte fuer Chemie (1917), 38, 121-39
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. C. A. 5, 1756; Stieger, C. A. II, 1137. The condensation of rhodanines and related ring systems with aldehydes is illustrated still

further by this work. 3-Phenyl-rhodanine and p-H2NC6H4CHO condense in warm AcOH to form 3-phenyl-5-p-amino-benzylidenerhodanine, CO.NPh.CS.S.C:CHC6H4NH2, which crysts. in K2Cr2O7-colored, filamentous needles, m. 227-8°, and dyes **skin**, wool or silk, yellow. **Phenylthiocarbimide**-glycollide and p-H2NC6H4CHO form γ-phenyl-β-p-aminobenzylidenelhiocarbimide glycollide(2,4-diketo-3-phenyl-5-p-aminobezylidenethiazolidine),CO.NPh.CO.S.CCHC6H4NH2, yellow, crystalline powder, m. 246°. The corresponding benzylidene compound forms needles, m. 239°, and the o-hydroxybenzylidene compound crysts. in pale yellow, woolly masses, m. 140°. **Phenylthiohydantoin** yields γ-phenyl-β-**benzylideneisothiohydantoin** (2-imino-4-kelo-3-phenyl-5-benzyIidenethiazolidine), m. 255-6°, which has the appearance of PbI2. The corresponding salicylidene compound, m. 244°. Protocatechualdehyde and 3-phenylrhodanine form 3-phenyl-s-m.p-dihydroxybentzylidene-rhodanine, bright yellow needles, m. above 260°. This behaves like an indicator, for aqueous **suspensions** give very deep violet solns. with alkali hydroxides, which become yellow again on neutralization. The compound is in many other respects like alizarin. It is a vat dye, but does not give nice shades. The corresponding 5-o,p-dihydroxybenzylidene compound is an orange-yellow crystalline powder, m. about 350°, which gives carmine-red solns. with traces of alkali hydroxides. 3-Tolyl-5-o,p-dihydroxybenenzylidenerhodonin e is a dirty orange-yellow powder, m. about 200°, and the corresponding 3-β-naphthyl compound, m. about 190-200°. 3-Phenylrhodanine and isophthalaldehyde condense, to form 5-isophthalylidene-bis-3-phenylrhodanine, C6H4(CH:C.S.CS.NPh.CO)2, which crysts. from BzOEt in chrome-yellow crysts., m. above 360°. The simple rhodanine gives the corresponding phthalylidene-bis-rhodonine, m. 260-5° (decomposition). 3-Phenyl-5-m-carboxybenzylidenerhodanine is a Cd-yellow ponder, m. 347-8° or higher. Phenyl-rhodanine and the related ring systems also condense with, isatin. 3-Phenyl-5-ψ-indoxylidenerhodanine, CO.NPh.CS.S.C:C.CO.C6H4.NH, crysts. as a purple-red, shimmering scale, m. 260°. "Isolhiohydantoin-2-indolindigo" (2-imino-4-keto-5-ψ-indoxylidenthiazolidine), CO.NH.C(:NH).S.C:C.CO.C6H4.NH, is a brownish red powder, m. above 360°. 2,4-Diketo-5-ψ-indoxylidenethiazolidine is an orange-yellow powder, m. above 370°. "5-ψ-Indoxylrhodanine" is identical with Felix and Fried-lander's "5-**thiazolthiol**-2-indoleindigo" (C. A. 4, 3196).

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L2               SEL  PLU=ON  L1 1- CHEM :     210 TERMS
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L4         56926 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L3 OR ?DIKETON?
L5        156209 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ?DIONE?
L14        21774 SEA FILE=REGISTRY ABB=ON  PLU=ON  SULFUR/BI
L15       429476 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L14 OR SULFUR OR SULPHUR
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L18         4618 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L15 OR L16)(L)(?MEDICIN? OR
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L21           14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19 AND (SKIN OR ?DERM? OR
                 COSMET?)
L24        22717 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L15 OR ?THIO?)(L)(SUSPENS?
                 OR ?EMULSION?)
L25          807 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 AND (L4 OR L5)
L26            7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L25 AND (SKIN OR ?DERM? OR
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               COSMET?)
L27            7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L26 NOT L21
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L29            6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L28 NOT (L21 OR L27)


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=> d ibib abs hitrn l29 1-6

L29  ANSWER 1 OF 6  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2002:832786  HCAPLUS
DOCUMENT NUMBER:          137:337920
TITLE:                    Preparation of benzimidazolone derivatives as
                          antagonists of muscarinic acetylcholine receptor
INVENTOR(S):              Yamakawa, Takeru; Ogino, Yoshio; Sagara, Yufu;
                          Matsuda, Kenji; Naya, Akira; Kimura, Toshifumi; Otake,
                          Norikazu
PATENT ASSIGNEE(S):       Banyu Pharmaceutical Co., Ltd., Japan
SOURCE:                   PCT Int. Appl., 124 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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     WO 2002085890      A1   20021031      WO 2002-JP3958   20020419
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1386920         A1   20040204      EP 2002-720539   20020419
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:                    JP 2001-122057   A  20010420
                                          WO 2002-JP3958   W  20020419

OTHER SOURCE(S):          MARPAT 137:337920
GI
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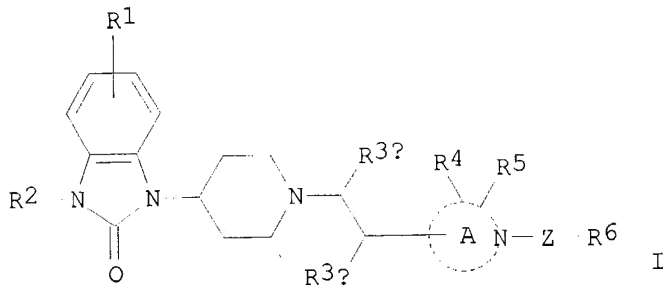

I

AB Benzimidazolone derivs. typified by compds. represented by the following general formula (I) and so on (wherein R1 = H, halo, lower alkyl, lower alkoxy; R2 = H, lower alkyl optionally substituted by lower alkyl; R3a, R3b = H, R3; when R3a is H, R3b is R3; when R3a is R3, R3b is H; wherein R3 = H, halo, HO, lower alkyl, lower alkenyl; or R3 and R4 together with the C atom bonded to R3 and R3 form a 3 to 6-membered carbocyclic ring; R4, R5 = H, halo, HO, lower alkyl, lower alkenyl; or R4 and R5 together with the C atom bonded to R4 and R5 form CH2 or a 3 to 6-membered carbocyclic ring; R6 = aryl or heteroaryl optionally having 1 or ≥2 substituents selected from halo, cyano, NO2, lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, halo-lower alkyl, lower alkylamino, di(lower alkyl)amino, lower **alkylthio**, lower alkylsulfonyl, optionally F-substituted lower alkoxy, lower acyl, lower acylamino, lower alkoxycarbonyl, CONH2, lower alkylcarbamoyl, di(lower alkyl)carbamoyl, etc.; the ring A represents a 5- to 8-membered aliphatic heterocycle having a nitrogen atom; Z = carbonyl, sulfonyl) are prepared Because of having an antagonistic effect to muscarinic acetylcholine receptor, the above benzimidazolone derivs. are useful as remedies and/or preventives for, e.g., Parkinson's disease, drug-induced Parkinsonism, dystonia, akinesia, pancreatitis, bile stone/cholecystitis, biliary mobility function disorder, achalasia, pain, **itching**, choline urticaria, irritable bowel syndrome, vomiting, nausea, dizziness, Meniere's disease, motion sickness such as space sickness, sea sickness and car sickness and urinary disorder. Thus, to a **suspension** of (R)- or (S)-1-[1-[2-(perhydroazepin-4-yl)ethyl]piperidin-4-yl]-1,3-dihydro-2H-benzimidazol-2-one dihydrochloride, nicotinic acid, Et3N, and 1-hydroxybenzotriazole in CHCl3 was added 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and stirred at room temperature for 3 h to give (R)- or (S)-1-[1-[2-[1-(3-pyridylcarbonyl)perhydroazepin-4-yl]ethyl]piperidin-4-yl]-1,3-dihydro-2H-benzimidazol-2-one (II). II showed IC50 of 25,31,1,700, 1.7, and 450 nM for inhibiting the [3H]-N-methylscopolamine binding on human muscarinic acetylcholine receptor m1, m2, m3, m4, and m5, resp., expressed in CHO cell.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:175503 HCAPLUS
DOCUMENT NUMBER: 118:175503
TITLE: Antidandruff hair preparations
INVENTOR(S): Laehteenmaeki, Raimo
PATENT ASSIGNEE(S): Orion-Yhtyma Oy Noiro, Finland
SOURCE: Finn., 12 pp.
CODEN: FIXXAP
DOCUMENT TYPE: Patent
LANGUAGE: Finnish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI 87888	B	19921130	FI 1990-69	19900105
FI 9000069	A	19910706		
FI 87888	C	19930310		
DK 9100013	A	19910706	DK 1991-13	19910104
SE 9100030	A	19910706	SE 1991-30	19910104
NO 9100045	A	19910708	NO 1991-45	19910107

PRIORITY APPLN. INFO.: FI 1990-69 19900105

AB The scalp-care prepns. containing 2,2'-**dithiobis**(pyridyl-N-oxide) (I) as the active S-containing component, contain propylene glycol (II) as addnl. active agent, and the prepns. further contain an oil-in-water

emulsion, an emulsifier, and an anionic wetting agent in a suitable water-based carrier. The prepns. may addnl. contain an anti-**itching** agent, e.g., tar. A preparation consisting of glycerin monostearate (conditioner) 3.0, Hostacerin T3 (fatty alc. polyglycol ether; emulsifier) 10.0, paraffin oil 10.0, soybean oil 10.0, BHT 0.1, tar 1.0, I 0.5, II 10.0, Na lauryl ether sulfate (anionic wetting agent) 2.0, and water 53.4 wt%. Results of use by men and woman are presented.

L29 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:502763 HCAPLUS
DOCUMENT NUMBER: 111:102763
TITLE: Shampoo compositions comprising water-insoluble particulate anti-inflammatory agents such as hydrocortisone acetate
INVENTOR(S): Barford, Brian D.; Fulmer, Andrew W.; Manring, Gary L.
PATENT ASSIGNEE(S): Procter and Gamble Co., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4835148	A	19890530	US 1986-833638	19860224

PRIORITY APPLN. INFO.: US 1986-833638 19860224

AB A shampoo, useful for the treatment of dandruff, **itching** or other skin disorders involving excessive or abnormal shedding of dead epidermal cells from the scalp, comprises: (1) 0.2-2% water-insol. particulate corticosteroid anti-inflammatory agent such as hydrocortisone acetate (I); (2) 5-40% anionic surfactant selected from alkyl sulfates, alkyl ether sulfates, and the mixts.; and (3) water. A shampoo contained I 1.00, glycol distearate 3.00, Zn **pyridinethione** 1.00, NH4 lauryl sulfate 9.00, NH4 laureth sulfate 10.00, NH4 xylenesulfonate 2.00, cocoamide MEA 3.40, citric acid 0.20, minors (perfume, preservatives, color) <1.00, and water q.s. to 100 weight%. I comes out of **suspension** when diluted by application to wetted hair, and deposits on the hair and scalp and is not rinsed away. Compns. of the invention can provide up to 10-fold the deposition of soluble analogous, anti-inflammatory agents.

L29 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:602011 HCAPLUS
DOCUMENT NUMBER: 83:202011
TITLE: Anti-**itch** pharmaceutical composition
INVENTOR(S): De Muylder, Jean M.
PATENT ASSIGNEE(S): Societe d'Etudes et de Realisations Scientifiques Seresci, S.p.r.l., Belg.
SOURCE: Ger. Offen., 5 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2500660	A1	19750904	DE 1975-2500660	19750109
ZA 7408165	A	19760128	ZA 1974-8165	19741223
BE 823829	A1	19750624	BE 1974-151901	19741224
NL 7500204	A	19750715	NL 1975-204	19750108
FR 2257286	A1	19750808	FR 1975-490	19750109

DD 118379 C 19760305 DD 1975-183574 19750109
JP 50129713 A2 19751014 JP 1975-5882 19750111
PRIORITY APPLN. INFO.: GB 1974-1392 19740111
AB Topically applied S-benzyl **thiobenzoate** [13402-51-2] showed high acaricidal activity, especially against **itch** mites (Sarcoptes scabiei) and their eggs. An **emulsion** for topical application contained benzyl **thiobenzoate** 2.5, benzyl alc. 2.5, triethanolamine lauryl sulfate 5, propylene glycol 10 g, and water to 100 ml.

L29 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1958:45394 HCAPLUS
DOCUMENT NUMBER: 52:45394
ORIGINAL REFERENCE NO.: 52:8121e-i,8122a-h
TITLE: Practical synthesis of thieno[3,2-b]pyrrole
AUTHOR(S): Matteson, Donald S.; Snyder, H. S.
CORPORATE SOURCE: Univ. of Illinois, Urbana
SOURCE: Journal of Organic Chemistry (1957), 22, 1500-4
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 52:45394
AB cf. C.A. 51, 16422a. KCNS(200 g.) in 250 ml. MeOH at -75° (Dry Ice-Me2CO bath) stirred with dropwise addition of 159.6 g. Br in 125 ml. MeOH at -75° and the mixture kept below -60°, the **thiocyanogen** solution cooled to -75° and treated rapidly with 67.1 g. redistd. pyrrole in 250 ml. MeOH at -75° and the mixture stirred (with cooling bath removed) until the temperature rose to -25°, poured onto 2 kg. crushed ice and stirred with 300 g. NaCl, filtered through a 5-6-in. Buchner funnel and the ice and solids washed freely with H2O, the crude 3-**thiocyanopyrrole** (I) dried in vacuo and clarified in 100 ml. CH2Cl2 and 500 ml. methylcyclohexane (MgSO4 and Darco) at 40°, the colorless solution chilled and seeded, kept 17 hrs. at 0°, and chilled to -20° gave 62 g. I, m. 40-4°, infrared spectrum identical with that of I prepared from Cu(CNS)2 and pyrrole. I stains the skin deep red and may cause burning or **itching** sensations. The use of rubber gloves is mandatory and contacted areas should be washed immediately with soap and H2O and treated with 3% H2O2. Pyrrole (0.71 g.) in 75 ml. MeOH stirred at 0-5° (N atmospheric) with portionwise addition of 0.2 mole Cu(CNS)2 [on basis of (NCS)2 analysis] in a few min. and stirring continued 50 min. at 0-5°, the mixture filtered and the CuCNS washed with 50 ml. MeOH, the filtrate and washings poured onto 300 g. crushed ice and 100 g. NaCl added, the mixture filtered and the solids extracted with 225 ml. methylcyclohexane, the solution treated with Darco and cooled, seeded, and kept 17 hrs. at 0° gave 5.83 g. I, m. 41.5-43° (methylcyclohexane). As a route to 3-(**alkylthio**)pyrroles, attempts to isolate 3-mercaptopyrrole (II), 3-RSC4H4N (R = H) (IIa), were made but abandoned when a more promising way was found. Mg (1.87 g.) in 125 ml. MeOH (N atmospheric) at -20° kept 1 hr. with 6.2 g. I and the mixture poured into 500 ml. H2O, 200 ml. Et2O, and sufficient solid CO2 to dissolve the precipitated Mg(OH)2, the aqueous phase extracted with Et2O and the dried Et2O solns. evaporated in vacuo, the residue sublimed at 75°/0.1 mm. and the product (6.8 g.) recrystd. from PhMe, resublimed, recrystd. from dilute MeOH, and resublimed at 55-65°/0.1 mm. gave S-3-pyrrolyl O-Me **thioimidocarbonate**, II [R = C(:NH)OMe], m. 77-80°. I(6.21 g.) and 8.5 g. MeI in 50 ml. MeOH at -20° (N atmospheric) stirred with dropwise addition in 10 min. of 7.9 g. 85% KOH in 20 ml. H2O and 20 ml. MeOH and stirring continued 1.5 hrs. without cooling, the excess alkali neutralized with solid CO2 and the mixture poured into 500 ml. H2O containing 100 g. NaCl, the mixture extracted 3 times with 50 ml. CH2Cl2 and the dried solution (K2CO3) evaporated in vacuo, the residue distilled, and the product (5.1 g.) redistd. gave II (R = Me) (IIb), b12-13 88-9°. The excellent (90%) yield of IIb showed that the extremely

unstable anion of IIa exists long enough to displace halide ions from a moderately active alkyl halide. I (62.1 g.) and 83.5 g. BrCH2CO2H in 500 ml. MeOH at -50° stirred rapidly with addition of 123 g. 85% KOH in 500 ml. 50% dilute MeOH in 10 min. and stirring continued 2 hrs. without cooling, the mixture brought to pH 8 with solid CO2 and the solvent evaporated in vacuo (warm H2O bath to avoid bumping), the solid residue taken up in 500 ml. CH2Cl2 and the mixture stirred with controlled addition of 375 ml. ice-cold 4N HCl, the aqueous phase extracted twice with 250 ml. CH2Cl2 and the combined dried CH2Cl2 solns. treated with Darco and filtered, the filtrate saturated with excess dry NH3, and filtered gave 78 g. II (R = CH2CO2NH4) (IIc), m. 127-33°, purified by treatment of IIc with N HCl and extraction with CH2Cl2, dehydration over MgSO4, and crystallization by treatment with anhydrous NH3 to give IIc, m. 125-33°; Ca salt-2H2O, m. 112-20° (decomposition). IIc in MeOH refluxed 20 hrs. with ZnCl2 and the product purified by extraction followed by distillation in a sublimation apparatus at 80°/0.1 mm. gave the liquid ester II (R = CH2CO2Me). BrCH2CH(OEt)2 failed to react with I under the above conditions and active alkyl halides such as PhCOCH2Br, BrCH2CO2Et, and ClCH2COCO2H appeared to be attacked by OH- more rapidly than was I and also failed to give sulfides. IIc (17.42 g.) and 250 ml. CH2Cl2 shaken with 30 ml. ice-cold 6N HCl and the aqueous phase extracted twice with 250 ml. CH2Cl2, the combined CH2Cl2 exts. dried (MgSO4) and treated with Darco, filtered and the filtrates combined with the 150 ml. CH2Cl2 washings of the Mg2SO4, the CH2Cl2 solution added dropwise in 50 min. to the most vigorously agitated region of 400 g. well-stirred polyphosphoric acid at 120-3° with free vaporization of the CH2Cl2, the mixture cooled below 100° and added slowly with stirring to 1200 ml. H2O and 750 ml. EtOAc, the stirring continued 30 min. and the aqueous layer extracted with 250 ml. EtOAc, the aqueous layer saturated with 300 g. NaCl and extracted twice with 250 ml. EtOAc, the **emulsion** layer neutralized with Na2CO3 and warmed on a steam bath prior to a 3-fold extraction with 100 ml. portions of EtOAc, the combined EtOAc solns. washed with aqueous NaHCO3 and dried over MgSO4, evaporated in vacuo, and the residue sublimed twice at 120°/0.1 mm. gave 5.0 g. product, m. 183-8.5°, purified by sublimation twice, recrystn. twice from aqueous HCONMe2 and sublimation twice, treatment with Darco, and recrystn. from MeOH to give 2H,3H-thieno[3,2-b]pyrrol-3-one (III), m. 187-90°, λ 330, 303 (min.), 279, 236 (min.) mμ (ε 7400, 3900, 16,000, 500, 95% alc.), ν 3140, 1635 cm.-1 (Nujol). III (0.28 g.) in 35 ml. 95% alc. refluxed 1 hr. with 2.5 g. Raney Ni (W6) and the solution filtered, the residue washed with alc. and the alc. solns. evaporated in vacuo, the residue sublimed, and the product (0.06 g.) recrystd. from H2O gave 23 mg. 2-acetylpyrrole, m. 89-91°, identical with that prepared from C4H4NMgBr and AcCl. III (1.39 g.) and 1.5 g. NaBH4 in 50 ml. MeOH refluxed 16 hrs. under N and the mixture poured into 200 ml. 15% aqueous NaCl, extracted 3 times with 50 ml. CH2Cl2 and the dried extract evaporated, the residue sublimed at 6070°/0.1 mm., and the 0.76 g. product recrystd. from Et2O-C5H12 at -70° and resublimed 3 times gave thieno[3,2-b]pyrrole, m. 25-8°, λ 260, 233 (min.) mμ (ε 11,800, 4900, 95% alc.), infrared spectrum and that of a less pure sample synthesized from **thiophene** (cf. Snyder, et al., C.A. 51, 13846b) given.

L29 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1944:14764 HCAPLUS
DOCUMENT NUMBER: 38:14764
ORIGINAL REFERENCE NO.: 38:2159c-e
TITLE: Some observations on the bionomics of the **itch** mite (Psorergates ovis) of sheep and its control with lime-sulfur dips
AUTHOR(S): Graham, N. P. H.
SOURCE: Journal of the Council for Scientific and Industrial Research (Australia) (1943), 16, 206-14
CODEN: JCOYAJ; ISSN: 0368-1734
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB Expts. on the transmission and control of the **itch** mite are described. In trials, Na arsenite solution (0.2% As203) and **suspensions** of rotenone (0.005%) killed a large proportion, but not all, of the mites on treated skin sites. Lime-**sulfur** solns. containing 0.4% weight/volume of polysulfide-**sulfur** completely eliminated mites. In the field, 10,000 sheep dipped in 1% lime-**sulfur**, containing 0.03% "Agral 3" wetting agent, remained free from mites for 8 months. The polysulfide-**sulfur** content of the dip remained within effective limits during dipping.

=>

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? SHOW FILES
File 155:MEDLINE(R) 1966-2004/Jun W2
         (c) format only 2004 The Dialog Corp.
File   5:Biosis Previews(R) 1969-2004/Jun W4
         (c) 2004 BIOSIS
File  34:SciSearch(R) Cited Ref Sci 1990-2004/Jun W4
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File  70:SEDBASE 1996/Jan Q1
         (c) 1996 Elsevier Science B.V.
File  71:ELSEVIER BIOBASE 1994-2004/Jun W3
         (c) 2004  Elsevier Science B.V.
File  73:EMBASE 1974-2004/Jun W4
         (c) 2004 Elsevier Science B.V.
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         (c) 2004 The HW Wilson Co.
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         (c) 2004 Contains copyrighted material
File 156:ToxFile 1965-2004/May W5
         (c) format only 2004 The Dialog Corporation
File 342:Derwent Patents Citation Indx 1978-04/200438
         (c) 2004 Thomson Derwent
File 351:Derwent WPI 1963-2004/UD,UM &UP=200440
         (c) 2004  Thomson Derwent
?
?
? DS

Set     Items   Description
S1      11596   (DIKETONE? OR HYDROXYDIKETON? OR ETHYLDIKETONE? OR METHYLE-
             THYLDIKETON? OR DIMETHYLDIKETON? OR DIETHYLDIKETON?)
S2     509569   (SULFUR OR SULPHUR)
S3    1765174   (COLLOID? OR SUSPEN? OR DISPER?)
S4        124   S1(S)S2
S5        102   RD (unique items)
S6          4   S5 AND S3
S7        286   S1 AND S2
S8        262   RD (unique items)
S9          0   S8 AND ITCH?
S10         0   S8 AND (ANESTHE? OR ANTIHISTAMIN? OR HISTAMIN? OR CORTICOS-
              TER? OR COUNTERIRR? OR IRRITA? OR ANTIIRR?)
S11        50   S1 AND (ANESTHE? OR ANTIHISTAMIN? OR HISTAMIN? OR CORTICOS-
              TER? OR COUNTERIRR? OR IRRITA? OR ANTIIRR?)
S12        40   RD (unique items)
S13         3   S12 AND (COLLOID? OR SUSPEN? OR DISPER?)
S14         4   S1 AND ITCH?
S15         1   RD (unique items)
S16       197   S1(S)S3
S17       178   RD (unique items)
S18        76   S1(10N)S3
S19        71   RD (unique items)
S20         2   S19 AND (MEDIC? OR PHARMA? OR DRUG? OR PRODRUG? OR THERAP?)
S21         5   S13 OR S15 OR S20
S22         5   RD (unique items)
S23         0   S22 AND S6
S24         9   S6 OR S22
?
?
? T S24/3 AB KWIC/1-24
>>>No matching display code(s) found in file(s): 342

 24/ABKWIC/1     (Item 1 from file: 155)
DIALOG(R)File 155:MEDLINE(R)
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07150335 PMID: 3521487
A placebo-controlled trial of topical 8% arildone cream early in recurrent genital herpes.
Douglas J M; Judson F N; Levin M J; Bosso J A; Spruance S L; Johnston J M ; Corey L; McMillan J A; Weiner L B; Frank J A
Antimicrobial agents and chemotherapy (UNITED STATES) Mar 1986, 29 (3) p464-7, ISSN 0066-4804 Journal Code: 0315061
Document type: Clinical Trial; Journal Article; Randomized Controlled Trial
Languages: ENGLISH
Main Citation Owner: NLM
Record type: Completed
Arildone is an aryl **diketone** which is inhibitory in vitro against herpes simplex virus type 2 at a concentration of 2 micrograms/ml or less. One hundred forty-five patients with recurrent genital herpes were enrolled in a multicenter, randomized, placebo-controlled, double-blind trial to evaluate the efficacy and safety of an 8% arildone cream. Patients initiated therapy a mean of 9.9 h and a maximum of 24 h after the reported onset of lesions and applied medication 6 times daily for 7 days. The duration of viral shedding was shorter among women (P less than 0.05) and the duration of local **itching** was shorter among men (P less than 0.05) in patients that received arildone than in those that received placebo, but there were no significant differences between treatment groups in duration of pain, time to crusting or healing of lesions, or percentage of patients developing new lesions. Mild local irritation after application of ointment was common and occurred equally in both treatment groups. Despite early application, topical arildone cream was ineffective in the therapy of acute recurrences of genital herpes.

Arildone is an aryl **diketone** which is inhibitory in vitro against herpes simplex virus type 2 at a concentration of...

... shedding was shorter among women (P less than 0.05) and the duration of local **itching** was shorter among men (P less than 0.05) in patients that received arildone than...

24/ABKWIC/2 (Item 2 from file: 155)
DIALOG(R)File 155:MEDLINE(R)

04555426 PMID: 877420
Some observations on the **antihistamine** activity in the guinea pig of aliphatic 2,4-**diketones** , a new class of physiological tissue components.
Francis L E; Douglas D E
Research communications in chemical pathology and pharmacology (UNITED STATES) Jun 1977, 17 (2) p357-64, ISSN 0034-5164 Journal Code: 0244734
Document type: Journal Article
Languages: ENGLISH
Main Citation Owner: NLM
Record type: Completed
Eight saturated aliphatic 2,4-**diketones**, ranging in chain length from C7 to C21 were examined for their potency in counteracting the effects of **histamine** anaphylaxis in guinea pigs. A 0.2% **suspension** of the mixed C15, C17, C19 and C21 **diketones** was more effective than a 1% phenylephrine solution when these were administered as aerosols. Of the individual **diketones** , 2,4-nonadecanedione was the most potent in vivo. ED50 data for the **antihistamine** activity of the C7, C9, and C13 homologues in the in vitro guinea pig ileum bioassay indicated that, on a weight basis, the activity increased with increasing molecular weight. The

antiallergic activity of tissue and of urine extracts has been attributed to the presence of 2,4-**diketones**.

Some observations on the **antihistamine** activity in the guinea pig of aliphatic 2,4-**diketones** , a new class of physiological tissue components.
Eight saturated aliphatic 2,4-**diketones**, ranging in chain length from C7 to C21 were examined for their potency in counteracting the effects of **histamine** anaphylaxis in guinea pigs. A 0.2% **suspension** of the mixed C15, C17, C19 and C21 **diketones** was more effective than a 1% phenylephrine solution when these were administered as aerosols. Of the individual **diketones** , 2,4-nonadecanedione was the most potent in vivo. ED50 data for the **antihistamine** activity of the C7, C9, and C13 homologues in the in vitro guinea pig ileum...

... of tissue and of urine extracts has been attributed to the presence of 2,4-**diketones**.
Descriptors: **Histamine** Antagonists; *Ketones--**pharmacology** --PD; Anaphylaxis--chemically induced--CI; Anaphylaxis--**drug therapy**--DT; Animals; Biological Assay; Guinea Pigs; Molecular Weight ; Muscle Contraction--**drug** effects--DE; Muscle, Smooth--**drug** effects--DE; Structure-Activity Relationship
Chemical Name: **Histamine** Antagonists; Ketones

24/ABKWIC/3 (Item 1 from file: 73)
DIALOG(R)File 73:EMBASE

07348684 EMBASE No: 1998241592
The use of K-10/ultrasound in the selective synthesis of unsymmetrical beta-enamino ketones
Valduga C.J.; Squizani A.; Braibante H.S.; Braibante M.E.F.
C.J. Valduga, Departamento de Quimica, Universidade Federal de Santa Maria, Santa Maria, RS 97105-900 Brazil
AUTHOR EMAIL: mara@quimica.ufsm.br
Synthesis (SYNTHESIS) (Germany) 1998, -/7 (1019-1022)
CODEN: SYNTB ISSN: 0039-7881
DOCUMENT TYPE: Journal; Article
LANGUAGE: ENGLISH SUMMARY LANGUAGE: ENGLISH
NUMBER OF REFERENCES: 12

p-Phenyl substituted beta-enamino ketones 2a-p and cyclic beta-enamino ketones 4, 6a-f have been prepared by a selective method by **dispersing** 1,3- **diketones** and amines on montmorillonite K-10 under sonication.

...cyclic beta-enamino ketones 4, 6a-f have been prepared by a selective method by **dispersing** 1,3- **diketones** and amines on montmorillonite K-10 under sonication.
DRUG DESCRIPTORS:
*montmorillonite--**drug** analysis--an; *montmorillonite--**drug** development--dv; *ketone derivative--**drug** analysis--an; *ketone derivative--**drug** development--dv
MEDICAL DESCRIPTORS:
*__drug__ synthesis
echography; reaction analysis; proton nuclear magnetic resonance; derivatization; **drug** isolation; carbon nuclear magnetic resonance; article
SECTION HEADINGS:
029 Clinical and Experimental Biochemistry
037 **Drug** Literature Index

24/ABKWIC/4 (Item 1 from file: 103)
DIALOG(R)File 103:Energy SciTec

00470129 ERA-04-027599; EDB-79-044228
Author(s): Balmat, J.L.
Title: SO/sub 2/ removal process (Patent)
Patent No.: US 4042668
Patent Assignee(s): E.I. Du Pont de Nemours and Co.
Patent Date Filed: Filed date 15 Oct 1975
Publication Date: 16 Aug 1977
p 8
Language: English
Abstract: **Sulfur** dioxide is removed from oxygen-containing gases by contacting them with water having **dispersed** therein a chelate of manganese and a .β.- **diketone**. The **sulfur** dioxide is oxidized to SO/sub 3/ and absorbed into the water thus forming sulfuric acid.

Abstract: **Sulfur** dioxide is removed from oxygen-containing gases by contacting them with water having **dispersed** therein a chelate of manganese and a .β.- **diketone**. The **sulfur** dioxide is oxidized to SO/sub 3/ and absorbed into the water thus forming sulfuric ...

24/ABKWIC/5 (Item 1 from file: 351)
DIALOG(R)File 351:Derwent WPI

016079004
WPI Acc No: 2004-236865/200422
Related WPI Acc No: 2003-679332
XRAM Acc No: C04-092616
Corrosion-inhibiting conversion coating for metal substrate comprises rare earth metal and a valence stabilizer complex including tetravalent cerium, praseodymium and/or terbium as rare earth element
Patent Assignee: PHELPS A W (PHEL-I); STURGILL J A (STUR-I); SWARTZBAUGH J T (SWAR-I)
Inventor: PHELPS A W; STURGILL J A; SWARTZBAUGH J T
Number of Countries: 001 Number of Patents: 001
Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week
US 20040020568	A1	20040205	US 200238274	A	20020104	200422 B
			US 2003625915	A	20030723	

Priority Applications (No Type Date): US 2003625915 A 20030723; US 200238274 A 20020104
Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes
US 20040020568	A1		181	C23C-022/48	CIP of application US 200238274

Abstract (Basic): US 20040020568 A1
Abstract (Basic):
NOVELTY - A corrosion-inhibiting conversion coating comprises a rare earth element and a valence stabilizer combined to form a rare earth/valence stabilizer complex. The rare earth element is cerium, praseodymium and/or terbium. At least one rare earth element is in the tetravalent oxidation state.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:
(1) a method of making a corrosion-inhibiting conversion coating

bath by providing a solvent; providing a rare earth source; providing a valence stabilizer; and combining the rare earth source and the valence stabilizer to form a rare earth/valence stabilizer complex; and

(2) a method of applying a corrosion-inhibiting conversion coating by providing a substrate to be coated; contacting the substrate with a first conversion coating bath comprising a first solvent and a rare earth source; and contacting the substrate with a valence stabilizer to form a coating comprising a rare earth/valence stabilizer complex.

USE - For coating a metal substrate, e.g. magnesium, aluminum, zinc, iron, titanium, cadmium, silver, copper, tin, lead, rare earths, zirconium, beryllium, niobium, tantalum, lithium, indium and/or their alloys (claimed).

ADVANTAGE - The conversion coating forms protective, corrosion-inhibiting coatings on metals without the use of chromium in the hexavalent oxidation state. The cerium, praseodymium or terbium/valence stabilizer combinations equal the performance of conventional hexavalent chromium systems. The coatings do not require the use of elevated temperatures.

pp; 181 DwgNo 0/0

Abstract (Basic):
Technology Focus:
... 5- or 6-membered heterocyclic rings containing 1-4 nitrogen atoms optionally having additional nitrogen, **sulfur** or oxygen binding sites; 5- or 6-membered heterocyclic rings containing 1 or 2 **sulfur** or oxygen atoms and having additional nitrogen binding sites; 2-, 3-, 4-, 6-, 8- or 10-membered nitrogen, nitrogen-**sulfur** or nitrogen-oxygen macrocyclics; macrocyclic oligothioketones or dithiolenes; diazines; thio-, amido- or imido-derivatives of...

...trithioethers; tetrathioethers; pentathioethers; hexathioethers; disulfides; phosphines; dithio ligands; thiourea and thioamide; biuret; monothio ligands; or **diketone** ligands...
...surfactant. The color is formed by a dye, preferably vat dye, mordant dye, lake dye, **disperse** dye, azo dye, triazine dye, triphenylmethane dye, azine dye, formazan dye, phthalocyanine dye, Schiff base...

24/ABKWIC/6 (Item 2 from file: 351)
DIALOG(R)File 351:Derwent WPI

015088026
WPI Acc No: 2003-148544/200314
XRAM Acc No: C03-038416
New pyrimidine derivatives are cyclooxygenase-2 inhibitors used for treating e.g. pain, skin diseases, Alzheimer's disease and Parkinson's disease
Patent Assignee: GLAXO GROUP LTD (GLAX)
Inventor: NAYLOR A; PAYNE J J; PEGG N A
Number of Countries: 101 Number of Patents: 004
Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week	
WO 200296885	A1	20021205	WO 2002GB2415	A	20020523	200314	B
NO 200305206	A	20031124	WO 2002GB2415	A	20020523	200409	
			NO 20035206	A	20031124		
EP 1390351	A1	20040225	EP 2002730443	A	20020523	200415	
			WO 2002GB2415	A	20020523		
CZ 200303204	A3	20040317	WO 2002GB2415	A	20020523	200430	
			CZ 20033204	A	20020523		

Priority Applications (No Type Date): GB 200112802 A 20010525
Patent Details:
Patent No Kind Lan Pg Main IPC Filing Notes
WO 200296885 A1 E 16 C07D-239/34
Designated States (National): AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW
Designated States (Regional): AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW
NO 200305206 A C07D-239/34
EP 1390351 A1 E C07D-239/34 Based on patent WO 200296885
Designated States (Regional): AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR
CZ 200303204 A3 C07D-239/34 Based on patent WO 200296885

Abstract (Basic): WO 200296885 A1
Abstract (Basic):

NOVELTY - Pyrimidine derivatives (I) are new.

DETAILED DESCRIPTION - Pyrimidine derivatives of formula (I) are new.

R1=H, 1-6C alkyl, 1-2C alkyl substituted by 1-5 F, 3-6C alkenyl, 3-6C alkynyl, 3-10C cycloalkyl(0-6C)alkyl, 4-12C bridged cycloalkyl, A(CR4R5)n or B(CR4R5)n;

R2=1-2C alkyl substituted by 1-5 F;

R3=1-6C alkyl, NH2 or R7CONH;

R4, R5=H or 1-6C alkyl;

A=5- or 6- membered heteroaryl or 6-membered aryl (both optionally substituted by at least one R6);

R6=halo, 1-6C alkyl (optionally substituted by F), 1-6C alkoxy (optionally substituted by at least one F), NH2SO2 or 1-6C alkylSO2;

B=a group of formula (i) or (ii);

p=1-4;

q=1 or 2;

R7=H, 1-6C alkyl, 1-6C alkoxy, 1-6C alkylO(1-6C)alkyl, phenyl, HO2(1-6C)alkyl, 1-6C alkylOCO(1-6C)alkyl, 1-6C alkylOCO, H2N(1-6C)alkyl or 1-6C alkylOCONH(1-6C)alkyl, and

n=0-4.

An INDEPENDENT CLAIM is included for the preparation of (I).

ACTIVITY - Analgesic; Antialcoholic; Immunosuppressive; Antiparkinsonian; Nootropic; Neuroprotective; Vasotropic; Antiinflammatory; Antiarthritic; Antirheumatic; Virucide; Antipyretic; Osteopathic; Antigout; Dermatological; Antibacterial; Antipsoriatic; Tranquilizer; Vulnerary; Cytostatic; Anticonvulsant; Gynecological; Tocolytic; Antiasthmatic; Antiallergic; Nephrotropic; Antianemic; Antimigraine; Antiulcer; Ophthalmological; Anti-HIV.

MECHANISM OF ACTION - Cyclooxygenase (COX-2) inhibitor; Neuronal free radicals inhibitor; Prostanoid induced smooth muscle contraction inhibitor.

In an assay using COS cells stably transfected with cDNA for human COX-1 and human COX-2, microsomal human COX-2, 2-(4-fluorophenoxy)-4(4-(methylsulfonyl)phenyl)-6-(trifluoromethyl)pyrimidine (Ia) exhibited IC50 values of less than 1 nM for COX-2 inhibition and 81300 for COX-1 inhibition.

USE - Used for treating pain (chronic and acute), fever and inflammation, particularly rheumatic fever, symptoms associated with influenza or other viral infections such as common cold, lower back and neck pain, headache, toothache, sprains, strains, myositis, sympathetically maintained pain, synovitis, arthritis including rheumatoid arthritis, degenerative joint diseases including osteoarthritis, gout, ankylosing spondylitis, tendinitis, bursitis, skin related conditions such as psoriasis, eczema, dermatitis, injuries

such as sport injuries and those arising from surgical and dental procedures, neuropathic pain such as diabetic neuropathy, sciatica, non-specific lower back pain, multiple sclerosis pain, fibromyalgia, HIV-related neuropathy, neuralgia such as post-herpetic neuralgia and trigeminal neuralgia and pain resulting from physical trauma, amputation, cancer and toxins. (I) Are also used for reducing the number of adenomatous colorectal polyps and for treating cancer associated with overexpression of HER-2/neu, dysmenorrhoea and premature labor, epilepsy, oxidative stress, epileptic seizures, liver disease such as chronic viral hepatitis B, chronic viral hepatitis C, alcoholic liver injury, primary biliary cirrhosis, autoimmune hepatitis, nonalcoholic steatohepatitis and liver transplant rejection, asthma, allergic rhinitis, respiratory distress syndrome, gastrointestinal conditions such as inflammatory bowel disease, Crohn's disease, gastritis, **irritable** bowel syndrome, ulcerative colitis, vascular disease, migraine, periarteritis nodosa, thyroiditis, aplastic anemia, Hodgkin's disease, scleroderma, type I diabetes, myasthenia gravis, sarcoidosis, nephrotic syndrome, Bechet's syndrome, polymyositis, gingivitis, conjunctivitis and myocardial ischemia; ophthalmic disease such as retinopathies, uveitis and acute injury to the eye tissue, cognitive disorders such as dementia, Alzheimer's disease, Pick's disease, Huntington's chorea, Parkinson's disease and Creutzfeldt-Jakob disease and vascular dementia associated with intracranial space occupying lesions, trauma, infections, metabolism, toxins, anoxia and vitamin deficiency and mild cognitive impairment associated with ageing, disease ameliorated by gastroprokinetic agent such as ileus, ileus during sepsis, gastroesophageal reflux disease such as gastrointestinal reflux disease, non-ulcerative dyspepsia and non-cardiac arrest chest pain.

(I) Are used in human or veterinary medicine.

ADVANTAGE - (I) Are potent and selective inhibitors of COX-2.

pp; 16 DwgNo 0/0

Abstract (Basic):

... rhinitis, respiratory distress syndrome, gastrointestinal conditions such as inflammatory bowel disease, Crohn's disease, gastritis, **irritable** bowel syndrome, ulcerative colitis, vascular disease, migraine, periarteritis nodosa, thyroiditis, aplastic anemia, Hodgkin's disease...

24/ABKWIC/7 (Item 3 from file: 351)

DIALOG(R)File 351:Derwent WPI

013531756

WPI Acc No: 2001-015962/200102

XRAM Acc No: C01-004378

New pyrazole derivatives, useful especially as cyclooxygenase-2 inhibitors for treating e.g. inflammation, pyrexia, arthritis, pain, Alzheimer disease and dysmenorrhea

Patent Assignee: DR REDDY'S RES FOUND (REDD-N)

Inventor: AKELLA V; LOHRAY B B; LOHRAY V B; PAMULAPATI G R; PARIMAL M; RAMANUJAM R; SUNIL K S

Number of Countries: 090 Number of Patents: 002

Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week	
WO 200066562	A1	20001109	WO 2000IB556	A	20000502	200102	B
AU 200043083	A	20001117	AU 200043083	A	20000502	200111	

Priority Applications (No Type Date): IN 99CH508 A 19990503

Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes

WO 200066562 A1 E 127 C07D-231/12
Designated States (National): AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW
Designated States (Regional): AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW
AU 200043083 A C07D-231/12 Based on patent WO 200066562

Abstract (Basic): WO 200066562 A1
Abstract (Basic):

NOVELTY - Pyrazole derivatives (I), their analogs, tautomeric forms, stereoisomers, regioisomers, polymorphs, salts and solvates are new.

DETAILED DESCRIPTION - Pyrazole derivatives of formula (I), their analogs, tautomeric forms, stereoisomers, regioisomers, polymorphs, salts and solvates are new.

R1=amino or optionally substituted groups selected from alkyl, alkylamino, acylamino, cycloalkyl, cyclic amino, carboethoxycarbonylalkyl, hydrazino, hydrazido, amino acid residue, aryl, heteroaryl or N=CR(NR)2;

R=H or lower alkyl;

R2=cyano, nitro, azido, formyl, oximealkyl, thio or optionally substituted groups selected from amino, alkoxy, hydrazino, hydrazinoalkyl, hydrazido, hydrazidoalkyl, amino acid residue, amino acid residue alkyl, acyl, carbonyloxyalkyl, haloalkyl, aminoalkyl, haloalkoxy, hydroxyalkyl, alkoxyalkyl, thioalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, aryl, aralkyl, aryloxy, aralkoxy, aryloxyalkyl, aralkoxyalkyl, carbonylalkyl, carboxamidoalkyl or carbonylaminoalkyl;

R3=H, halo, hydroxy, nitro, cyano, azido or optionally substituted groups selected from hydrazino, hydrazinoalkyl, hydrazido, hydrazidoalkyl, amino acid residue, alkyl, alkoxy, hydroxyalkyl, alkoxyalkyl, acylamino or amino;

R4, R5, R6 when attached to carbon atom=H, halo, hydroxy, cyano, nitro, thio, oxo, hydroxylamino or optionally substituted groups selected from alkyl, alkoxy, acyl, acyloxy, amino, hydrazino, hydrazinoalkyl, hydrazido, hydrazidoalkyl, amino acid residue, aminoacyl, carboxyalkyl, carboxyalkenyl, aryl, aryloxy, aralkyl, aralkoxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, heteroarylcarbonyl, heteroaryloxycarbonyl, heteroaralkoxycarbonyl, heterocyclylcarbonyl, aminocarbonyl, aminocarbonylalkyl, carbonylamino, cycloalkylacylamino, alkylaminoalkoxy, alkylaminoacyl, carboxylic acid or its derivatives, saturated or partially saturated, aromatic, single or fused, carbocyclic or heterocyclic ring; or

R4, R5, R6 when attached to nitrogen atom=H, hydroxy, cyano, hydroxylamino, optionally substituted groups selected from alkyl, alkoxy, acyl, acyloxy, amino, hydrazino, hydrazinoalkyl, hydrazido, hydrazidoalkyl, amino acid residue, aminoacyl, carboxyalkyl, carboxyalkenyl, aryl, aryloxy, aralkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, heteroarylcarbonyl, heteroaryloxycarbonyl, heteroaralkoxycarbonyl, heterocyclylcarbonyl, aminocarbonyl, aminocarbonylalkyl, carbonylamino, cycloalkylacylamino, alkylaminoalkoxy, alkylaminoacyl, carboxylic acid or its derivatives, saturated or partially saturated, single or fused, aromatic, carbocyclic or heterocyclic groups; the pyrazole ring may be fused with R4, R5 or R6 where possible;

m=0-2.

INDEPENDENT CLAIMS are also included for the following:

(1) methods of preparing (I);

(2) a pharmaceutical composition comprising (I) and acetaminophen,

phenacetin, caffeine, an H2 antagonist, aluminum or magnesium hydroxide, simethicone, phenylephrine, phenyl propanolamine, pseudophedrine, oxymetazoline, epinephrine, nephazoline, propylhexadrine or levo-desoxyephedrine, xylomatazoline, a sedating or non-sedating **antihistamine**, dextromethorphan, carbetapentane, caramiphen, hydrocodeine, codein, a diuretic agent or their combination and a carrier, diluent, excipient or solvate.

ACTIVITY Antiinflammatory; antipyretic; antiarthritic; analgesic; nootropic; neuroprotective; gynecological; antiasthmatic; antiulcer; cytostatic; antibacterial; dermatological; vulnerary; antiallergic; antiarteriosclerotic; ophthalmological.

A compound of formula (Ib) **suspended** in 0.25% CMC and administered orally in a volume of 10 ml/kg to male Wistar rats caused 54% inhibition compared to a control, of hind paw edema induced 2 hours after injection of (Ib), by intradermal injection of 50 microl of lambda-carrageenan in saline into the plantar surface of the right hind paw. (Paw volume was measured before and 3 hours after carrageenan injection).

MECHANISM OF ACTION - Cyclooxygenase (COX) inhibitor, particularly COX-2 inhibitor; inhibitor of prostanoid-induced smooth muscle contraction.

In an in vitro assay using microsomal fraction of ram seminal vesicles as a source of COX-1 enzyme, and microsomes from sf-9 cells infected with baculo virus containing human COX-2 cDNA as a source of COX-2 enzyme, 4-(5-(4-methoxy-3-methylphenyl)-3-trifluoromethyl-1H-pyrazol-1-yl)-2-hydroxymethyl-1-benzene sulfonamide (Ia) exhibited IC50 values of 264+/-0.5 and 0.56+/-0.03, both x 102 microM for the inhibition of COX-1 and COX-2 respectively.

USE - For treating inflammation, pyrexia, arthritis, pain, Alzheimer disease, dysmenorrhea, premature labor, asthma, bronchitis, inflammatory bowel disease, prostanoid-induced smooth muscle contraction, gastritis, **irritable** bowel syndrome, Crohn's disease, ulcerative colitis, diverticulitis, regional enteritis, peptic ulcers, cancer, bacterial infections, skin inflammation disorders such as eczema, burns, dermatitis, psoriasis, allergic rhinitis, respiratory distress syndrome, endotoxin shock syndrome, atherosclerosis, ophthalmic diseases such as retinitis, retinopathy, uveitis, ocular photophobia and acute injury to eye tissues. The pain is especially due to premature labor, back and neck pain, head ache, tooth ache, sprains, muscular pain, strains, myostis, neuralgia, synovitis, bursitis, tendinitis, injuries following surgical and dental procedures, pain from cancer, or postoperative pain. The inflammation is especially due to common cold, influenza, viral infections, pulmonary inflammation, post-operative inflammation, skin inflammation, inflammation in diseases such as vascular diseases, migraine head aches, periarteritis nodosa, thyroiditis, aplastic anemia, Behcet's syndrome, Hodgkin's diseases, scleroderma, myasthenia gravies, sarcoidosis, nephrotic syndrome, Type 1 diabetes, polymyositis, conjunctivitis, gingivitis, myocardial ischemia, nephritis, swelling after injury or hypersensitivity. The arthritis is especially rheumatoid arthritis, osteoarthritis, gouty arthritis, juvenile arthritis or spondylo arthritis (all claimed). Useful not only for humans but also e.g. horses, dogs, cats, sheep, pigs, rats, mice and rabbits. As partial or complete substitute for non-steroidal antiinflammatory drugs (NSAIDS) in compositions or preparations where they are presently coadministered with other agents or ingredients. Also useful in cotherapies for Alzheimer's disease or cancer, in place of, or together with conventional therapies.

ADVANTAGE - In in vitro assays, all compounds (I) examined exhibited selective inhibition of COX-2 over COX-1 (see e.g. 'Mechanism of Action'). Side effects due to inhibition of COX-1 are therefore avoided. Selective inhibition of COX-2 also allows treatment of

inflammation using (I) without causing the potential side effects caused by chronic treatment with common NSAIDS.
pp; 127 DwgNo 0/0

Abstract (Basic):
... propanolamine, pseudophedrine, oxymetazoline, epinephrine, nephazoline, propylhexadrine or levo-desoxyephedrine, xylomatazoline, a sedating or non-sedating **antihistamine**, dextromethorphan, carbetapentane, caramiphen, hydrocodeine, codein, a diuretic agent or their combination and a carrier, diluent...A compound of formula (Ib) **suspended** in 0.25% CMC and administered orally in a volume of 10 ml/kg to...

...disease, dysmenorrhea, premature labor, asthma, bronchitis, inflammatory bowel disease, prostanoid-induced smooth muscle contraction, gastritis, **irritable** bowel syndrome, Crohn's disease, ulcerative colitis, diverticulitis, regional enteritis, peptic ulcers, cancer, bacterial infections...
Technology Focus:
... A) reacting a hydrazine compound of formula (II) with a **diketone** of formula (III); or...

24/ABKWIC/8 (Item 4 from file: 351)
DIALOG(R)File 351:Derwent WPI

013441211
WPI Acc No: 2000-613154/200059
XRAM Acc No: C00-183607
XRPX Acc No: N00-454272
Novel amine-chelate complexes useful in reducing the viscosity of heavy crude oils are formed by heating an organic amine with a chelating agent
Patent Assignee: ROHM & HAAS CO (ROHM)
Inventor: BANAVALI R M; CHHEDA B; MAZZA G; CHHEDA B D; MAZZO G
Number of Countries: 030 Number of Patents: 008
Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week	
EP 1033471	A1	20000906	EP 2000301332	A	20000221	200059	B
CA 2299104	A1	20000902	CA 2299104	A	20000222	200059	
NO 200000903	A	20000904	NO 2000903	A	20000224	200059	
CN 1265446	A	20000906	CN 2000103678	A	20000302	200065	
US 6402934	B1	20020611	US 99122496	P	19990302	200244	
			US 2000514462	A	20000228		
MX 2000001955	A1	20030701	MX 20001955	A	20000224	200366	
EP 1033471	B1	20030917	EP 2000301332	A	20000221	200369	
CA 2299104	C	20040504	CA 2299104	A	20000222	200431	

Priority Applications (No Type Date): US 99122496 P 19990302; US 2000514462 A 20000228
Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes
EP 1033471	A1	E	14	E21B-043/22	
Designated States (Regional): AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI					
CA 2299104	A1	E		C07C-211/07	
NO 200000903	A			E21B-043/22	
CN 1265446	A			E21B-043/22	
US 6402934	B1			C10C-001/20	Provisional application US 99122496
MX 2000001955	A1			C09K-007/00	
EP 1033471	B1	E		E21B-043/22	
Designated States (Regional): GB					
CA 2299104	C	E		C07C-211/07	

Abstract (Basic): EP 1033471 A1
Abstract (Basic):
NOVELTY - Novel amine-chelate complexes are formed by heating together an organic amine and a chelating agent.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:
(A) Recovering heavy crude oil from an oil-bearing formation having at least one well penetrating the formation and in fluid communication within it. The method comprises injecting the complex into the well and the formation, allowing it to **disperse** into the formation and then recovering the reduced viscosity oil.
(B) A composition for reducing the viscosity of heavy crude oils. The composition comprises the complex in an amount of 0.01 - 50 (preferably 0.01 - 10) weight percent and an organic solvent.
USE - In reducing the viscosity of heavy crude oils (claimed).
ADVANTAGE - The complex facilitates improved recovery and transportation of heavy crude oils.
pp; 14 DwgNo 0/0

Abstract (Basic):
... The method comprises injecting the complex into the well and the formation, allowing it to **disperse** into the formation and then recovering the reduced viscosity oil...
Technology Focus:
... Complex: The chelating agent is a carboxylic acid, aminocarboxylic acid, phosphonic acid, polyphosphate, 1,3 **diketone**, phenol, aminophenol, oxime, **sulfur** compound, macrocyclic compound, polycarboxylic acid, terminally unsaturated acrylic acid oligomer, other polymeric compound and/or...

24/ABKWIC/9 (Item 5 from file: 351)
DIALOG(R)File 351:Derwent WPI

010957116
WPI Acc No: 1996-454066/199645
Related WPI Acc No: 1998-556465; 2001-440428
XRAM Acc No: C96-142308
New unsymmetrical oligo-2,6-pyridine derivs. - useful as therapeutic and diagnostic immuno-reagents
Patent Assignee: STERLING WINTHROP INC (STER)
Inventor: DELECKI D J; SAHA A K; SNOW R A
Number of Countries: 001 Number of Patents: 001
Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week	
US 5559214	A	19960924	US 9369242	A	19930528	199645	B

Priority Applications (No Type Date): US 9369242 A 19930528
Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes
US 5559214	A		47	C07F-013/00	

Abstract (Basic): US 5559214 A
Unsymmetrical oligo-2,6-pyridine derivs. (I) of formula (Ia') and their metal chelates are new: R1 = 1-20C alkyl, 1-20C alkoxy, 1-20C alkylthio, N,N-di(1-20C alkyl)amino, 1-20C alkylformamido, 6-24C aryl, or opt. substd. monocyclic 5 or 6 membered heterocyclyl contg. N, S, P or O or bicyclic heterocyclyl contg. 5-6 ring atoms in each ring and one N, S, P or O or protein reactive gps.; L1, L2 = a bond, CH2 or NH; Q = the residue of a chelating agent comprising polyphosphate, aminocarboxylic acid, 1,3-**diketone**, hydroxycarboxylic acid, polyamine, aminoalcohol, aromatic heterocyclic base, phenol,

aminophenol, oxime, peptide, Schiff's base, tetrapyrrole, **sulphur** cpd., phosphonic cid and/or synthetic macrolide. a = 0 or 1.

USE - The cpds. are used as targetting immunoreagents and as diagnostic or therapeutic immunoreagents. They can be used to image and treat tumours using a radiometal isotope. The chelates are used e.g. as radioimmunoelectrophoresis reagents.

ADVANTAGE - The complexing agents rapidly complex with metals and the chelates exhibit good stability w.r.t. time, temp. and pH. Protein conjugates of the complexing agents can be formed and stored until metal complexation is required and complexation can be accomplished without activation steps that degrade protein. The targetting immunoreagents are not rapidly metabolised and do not deleteriously **disperse**. The complexes can also attach to other biological molecules.

Dwg.0/0

...Abstract (Basic): or NH; Q = the residue of a chelating agent comprising polyphosphate, aminocarboxylic acid, 1,3-**diketone**, hydroxycarboxylic acid, polyamine, aminoalcohol, aromatic heterocyclic base, phenol, aminophenol, oxime, peptide, Schiff's base, tetrapyrrole, **sulphur** cpd., phosphonic cid and/or synthetic macrolide. a = 0 or 1...

...steps that degrade protein. The targetting immunoreagents are not rapidly metabolised and do not deleteriously **disperse**. The complexes can also attach to other biological molecules...

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